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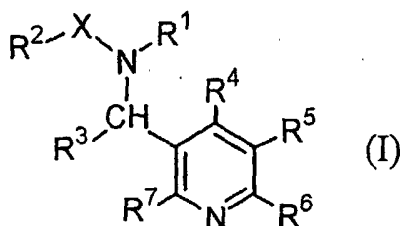
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(54) **PYRIDINE COMPOUNDS OR SALTS THEREOF AND HERBICIDES CONTAINING THE SAME**

(57) A pyridine compound represented by formula
(I):



wherein R¹ represents hydrogen or alkyl which may be substituted; R² represents alkyl which may be substituted, alkenyl which may be substituted, alkynyl which may be substituted, cycloalkyl which may be substituted, *etc.*; R³ represents alkyl which may be substituted, *etc.*; R⁴ represents hydrogen, alkyl, haloalkyl, halogen, -OR⁸, or -SR⁸; R⁵, R⁶ and R⁷ each represents hydrogen, halogen, or alkyl; R⁸ represents alkyl which may be substituted, alkenyl which may be substituted, alkynyl which may be substituted, or cycloalkyl which may be substituted; and X represents CO, CS, or SO₂, or a salt thereof

Description

TECHNICAL FIELD

5 [0001] The present invention relates to novel pyridine compounds useful as active ingredients of herbicides.

BACKGROUND ART

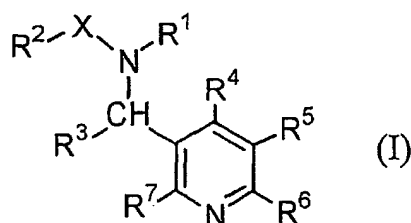
10 [0002] JP-A-9-328471 discloses 4-difluorohalogenoalkyl-3-substituted pyridine derivatives but does not disclose specific compound similar to pyridine compounds of formula (I) mentioned below. Moreover, WO 01/17975 discloses pyrimidine derivatives, which are however different in chemical structure from the pyridine compounds of formula (I) to be mentioned below.

DISCLOSURE OF THE INVENTION

15 [0003] As a result of various investigations for finding out more excellent herbicides, the present inventors have found that a specific pyridine compound has excellent herbicidal activity, and accomplished the present invention.

[0004] Namely, the present invention relates to a pyridine compound represented by formula (I):

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wherein R¹ represents hydrogen or alkyl which may be substituted; R² represents alkyl which may be substituted, alkenyl which may be substituted, alkynyl which may be substituted, cycloalkyl which may be substituted, cycloalkenyl which may be substituted, alkoxy which may be substituted, alkylthio which may be substituted, mono- or di-alkylamino which may be substituted, phenylamino which may be substituted, cyclic alkylamino which may be substituted, aryl which may be substituted, or a cyclic ether group which may be substituted; R³ represents alkyl which may be substituted, cycloalkyl which may be substituted, aryl which may be substituted, or heteroaryl which may be substituted; R⁴ represents hydrogen, alkyl, haloalkyl, halogen, -OR⁸, or -SR⁸; R⁵, R⁶ and R⁷ each represents hydrogen, halogen, or alkyl; R⁸ represents alkyl which may be substituted, alkenyl which may be substituted, alkynyl which may be substituted, or cycloalkyl which may be substituted; and X represents CO, CS, or SO₂, and wherein R⁴ is not chlorodifluoromethyl, bromodifluoromethyl, nor iododifluoromethyl, or a salt thereof, a process for producing the same, and a herbicide comprising the same.

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[0005] Examples of the substituent for the alkyl which may be substituted, the alkenyl which may be substituted, the alkynyl which may be substituted, the cycloalkyl which may be substituted, the cycloalkenyl which may be substituted, the alkoxy which may be substituted, the alkylthio which may be substituted, the mono- or di-alkylamino which may be substituted, the cyclic alkylamino which may be substituted and the cyclic ether group which may be substituted, which are contained in R¹, R², R³ or R⁸ in the above formula (I), include halogen, alkyl, alkoxy, alkylthio, dialkylamino, trimethylsilyl, cycloalkyl, cycloalkenyl, alkylcarbonyl, alkoxy carbonyl, cyclic ether, aryl which may be further substituted, aryloxy which may be further substituted, arylthio which may be further substituted, heteroaryl which may be further substituted, and the like. The number of substitution of these substituents may be 1 or 2 or more. When the number is 2 or more, the substituents are the same or different from each other. When a group is substituted with 2 or more alkyls, these alkyls may be combined with each other to form a carbon ring. Moreover, among these substituents, the aryl which may be further substituted, the aryloxy which may be further substituted, the arylthio which may be further substituted, and the heteroaryl which may be further substituted may be substituted with halogen, alkyl, haloalkyl, alkoxy, alkylthio, alkoxy carbonyl, nitro, cyano, trimethylsilyl, alkoxyimino, phenyl or the like.

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[0006] Examples of the substituent for the phenylamino which may be substituted, the aryl which may be substituted, and the heteroaryl which may be substituted, which are contained in R² or R³ in the above formula (I), include halogen, alkyl, haloalkyl, alkoxy, alkylthio, alkoxy carbonyl, nitro, cyano, trimethylsilyl, alkoxyimino, phenyl, and the like. The number of substitution of these substituents may be 1 or 2 or more. When the number is 2 or more, the substituents

are the same or different from each other. Moreover, among these substituents, the phenyl may be substituted with halogen, alkyl, haloalkyl, alkoxy, alkylthio, alkoxycarbonyl, nitro, cyano, alkoxyimino, trimethylsilyl or the like.

[0007] The above alkyl or alkyl moiety may be linear or branched one having 1 to 8 carbon atoms, and examples include methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, *tert*-butyl, pentyl, hexyl, and the like.

[0008] The above alkenyl or alkenyl moiety may be linear or branched one having 2 to 8 carbon atoms, and examples include vinyl, propenyl, isopropenyl, butenyl, pentenyl, hexenyl, and the like.

[0009] The above alkynyl or alkynyl moiety may be linear or branched one having 2 to 8 carbon atoms, and examples include ethynyl, propynyl, butynyl, isobutynyl, pentynyl, hexynyl, and the like.

[0010] The above cycloalkyl may be one having 3 to 6 carbon atoms, and examples include cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and the like. In addition, it may be one condensed with a benzene ring such as indanyl.

[0011] The above cycloalkenyl may be one having 4 to 6 carbon atoms, and examples include cyclobutenyl, cyclopentenyl, cyclohexenyl, and the like. In addition, it may be one condensed with a benzene ring such as indenyl.

[0012] The above cyclic alkylamino may be one having 2 to 6 carbon atoms, and examples include aziridinyl, azetidiny, pyrrolidinyl, piperidinyl, and the like.

[0013] The above aryl includes phenyl, naphthyl, and the like. In addition, it may be one condensed with a cycloalkane such as indanyl.

[0014] The above heteroaryl is 5-membered or 6-membered aryl containing 1 to 3 heteroatoms selected from oxygen, sulfur and nitrogen, or the aryl condensed with a benzene ring, and examples include thienyl, furanyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, imidazolyl, pyrazolyl, triazolyl, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, benzothienyl, benzofuranyl, indolyl, benzothiazolyl, benzisothiazolyl, benzoxazolyl, benzisoxazolyl, benzimidazolyl, indazolyl, quinolyl, isoquinolyl, phthalazinyl, quinazolinyl, quinoxaliny, and the like.

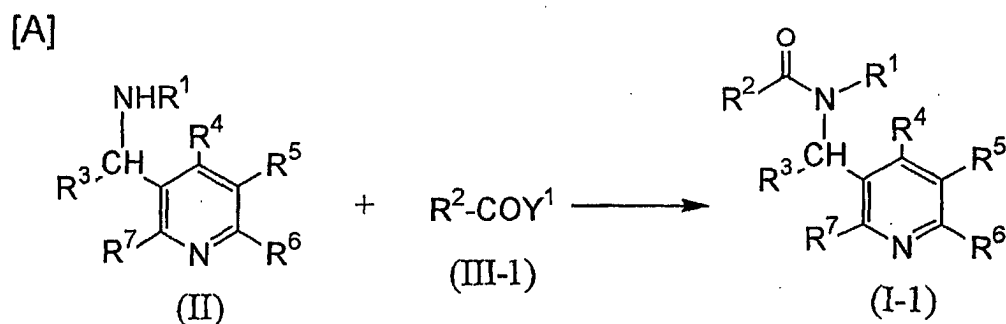
[0015] The above halogen includes each atom of fluorine, chlorine, bromine and iodine. The number of halogens contained in the above haloalkyl may be 1 or 2 or more. When the number is 2 or more, the halogens are the same or different from each other. Moreover, substitution position of the halogen(s) may be any position(s).

[0016] The above cyclic ether may be one having 2 to 4 carbon atoms, and examples include epoxy, tetrahydrofuryl, 1,3-dioxolanyl, 1,3-dioxanyl, and the like.

[0017] The pyridine compound of formula (I) is capable of forming a salt. The salt may be any one so long as it is agriculturally acceptable, and examples include alkali metal salts such as sodium salt and potassium salt; alkaline earth metal salts such as magnesium salt and calcium salt; ammonium salts such as dimethylamine salt and triethylamine salt; and the like.

[0018] Optical isomers or geometrical isomers may be present in the pyridine compounds of formula (I) and the present invention includes both of respective isomers and isomeric mixtures.

[0019] Among the pyridine compounds of formula (I), the compound wherein X is CO can be produced according to Process [A]:



wherein R¹, R², R³, R⁴, R⁵, R⁶ and R⁷ have the same meanings as described above; and Y¹ represents OH, chlorine, bromine, or R²COO.

[0020] Namely, the pyridine compound of formula (I-1) can be produced by reacting an amine derivative of formula (II) with a carboxylic acid derivative of formula (III-1).

[0021] The above reaction is carried out in the presence of a base. As the base, any of inorganic bases and organic bases can be employed. The inorganic bases include alkali metal carbonates such as sodium carbonate and potassium carbonate; alkali metal hydroxides such as sodium hydroxide and potassium hydroxide; alkali metal hydrides such as sodium hydride and potassium hydride; and the like. The organic salts include organolithium compounds such as *n*-butyllithium and phenyllithium; tertiary amines such as triethylamine; pyridine; and the like. When Y¹ is OH, the above

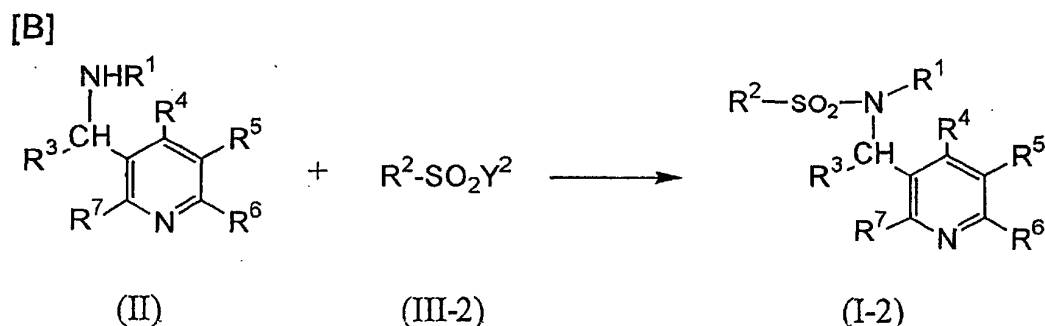
reaction is carried out in the presence of a condensing agent. The condensing agent includes *N,N'*-dicyclohexylcarbodiimide, *N,N'*-carbonyldiimidazole, and the like.

[0022] The above reaction is carried out in a solvent. The solvent may be any one so long as it is inert to the reaction, and examples include polar aprotic solvents such as acetonitrile, *N,N*-dimethylformamide and dimethylsulfoxide; ethers such as diethyl ether, tetrahydrofuran and dioxane; halogenated hydrocarbons such as dichloromethane and chloroform; aromatic hydrocarbons such as benzene and toluene; and the like. One or two or more of them can be optionally selected.

[0023] Moreover, the above reaction is carried out under inert gas atmosphere, if necessary. As the inert gas, for example, nitrogen, helium or argon is used.

[0024] The reaction temperature is usually from -20°C to 120°C, preferably from -10°C to 50°C. The reaction time is preferably from 30 minutes to 48 hours.

[0025] Among the pyridine compounds of formula (I), the compound wherein X is SO₂ can be produced according to Process [B]:

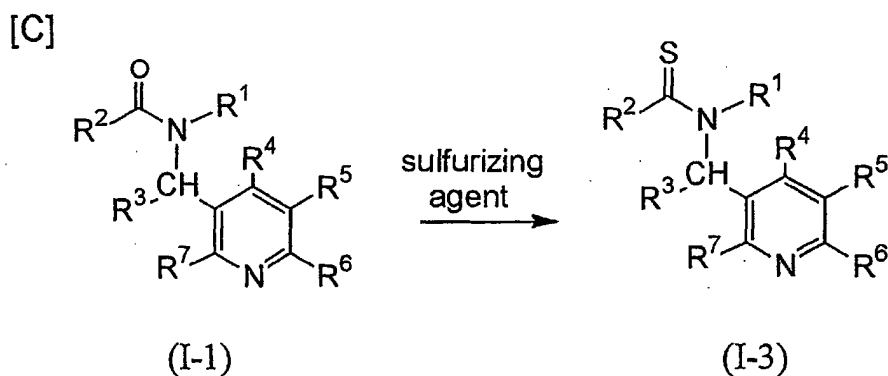


wherein R¹, R², R³, R⁴, R⁵, R⁶ and R⁷ have the same meanings as described above; and Y² represents chlorine, bromine, or R²SO₂O.

[0026] Namely, the pyridine compound of formula (1-2) can be produced by reacting the amine derivative of formula (II) with a sulfonic acid derivative of formula (III-2).

[0027] The above reaction is carried out in a similar manner to the above Process [A].

[0028] Among the pyridine compounds of formula (I), the compound wherein X is CS can be produced according to Process [C]:



wherein R¹, R², R³, R⁴, R⁵, R⁶ and R⁷ have the same meanings as described above.

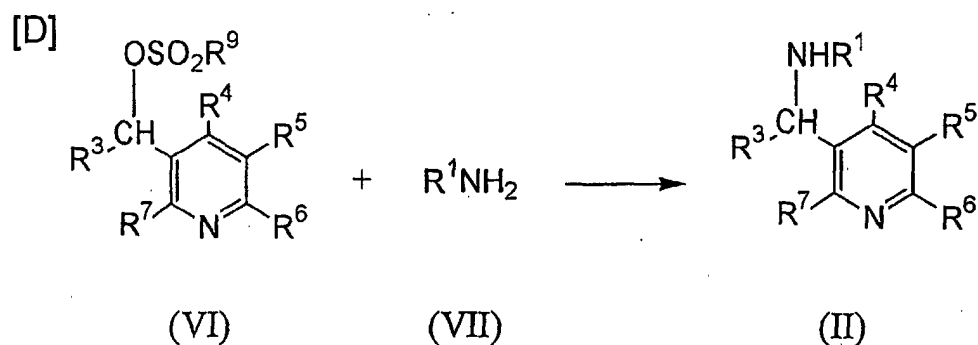
[0029] Namely, the pyridine compound of formula (I-3) can be produced by reacting the compound of formula (I-1) with a sulfurizing agent. Examples of the sulfurizing agent include phosphorus pentasulfide, Lawesson's reagent [2,4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide], and the like.

[0030] The above reaction is carried out in a solvent. The solvent may be any one so long as it is inert to the reaction, and examples include aromatic hydrocarbons such as toluene and xylene; and the like.

[0031] The reaction temperature is usually from 80°C to 150°C, preferably from 110°C to 130°C. The reaction time

is preferably from 30 minutes to 24 hours.

[0032] The amine derivatives of formula (II) include novel compounds, which can be, for example, produced by the following Processes [D] to [L]:



20 wherein R^1 , R^3 , R^4 , R^5 , R^6 and R^7 have the same meanings as described above; and R^9 represents C_1 - C_6 alkyl or phenyl which may be substituted with C_1 - C_6 alkyl.

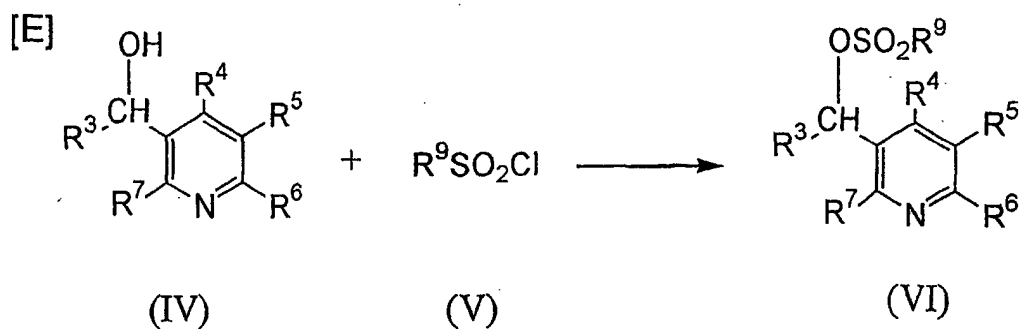
[0033] Namely, the amine derivative of formula (II) can be produced by reacting a sulfonic acid ester of formula (VI) with an amine of formula (VII) according to process [D].

25 **[0034]** The above reaction is carried out in a solvent. The solvent may be any one so long as it is inert to the reaction, and examples include alcohols such as methanol and ethanol; ethers such as tetrahydrofuran and dioxane; polar aprotic solvents such as acetonitrile and *N,N*-dimethylformamide; water; mixtures of water and organic solvents listed here; and the like.

[0035] Moreover, the above reaction is carried out under inert gas atmosphere, if necessary. As the inert gas, for example, nitrogen, helium or argon is used.

30 **[0036]** The reaction temperature is usually from -20°C to 150°C , preferably from -10°C to 120°C . The reaction time is preferably from 30 minutes to 48 hours.

[0037] The sulfonic acid ester of formula (VI) can be produced by reacting an alcohol derivative of formula (IV) with a sulfonic acid chloride of formula (V) according to Process [E]:



wherein R^3 , R^4 , R^5 , R^6 , R^7 and R^9 have the same meanings as described above.

50 **[0038]** The above reaction is carried out in the presence of a base. The base includes ones similar to those exemplified in the description of the above Process [A]. Preferably, organic bases such as pyridine and triethylamine are suitable. Moreover, pyridine can play two roles of a solvent and a base when used in large excess.

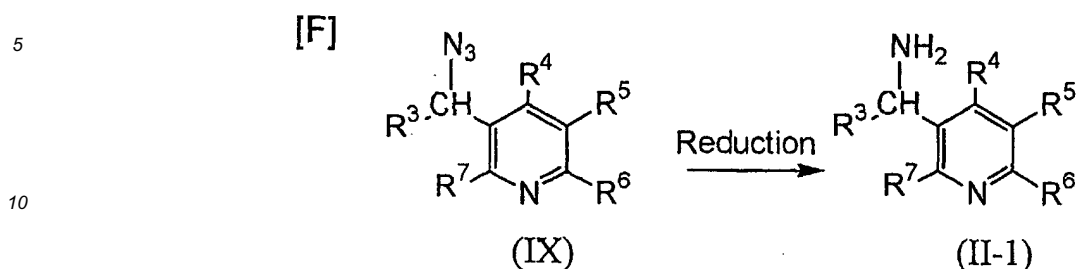
[0039] The above reaction is carried out in a solvent. The solvent may be any one so long as it is inert to the reaction and includes ones similar to those exemplified in the description of the above Process [A].

55 **[0040]** Moreover, the above reaction is carried out under inert gas atmosphere, if necessary. As the inert gas, for example, nitrogen, helium or argon is used.

[0041] The reaction temperature is usually from -78°C to 120°C , preferably from -20°C to 50°C . The reaction time is preferably from 30 minutes to 48 hours.

[0042] Among the amine derivatives of formula (II), the compound wherein R^1 is especially hydrogen can be produced

according to Process [F]:



15 wherein R^3 , R^4 , R^5 , R^6 and R^7 have the same meanings as described above.

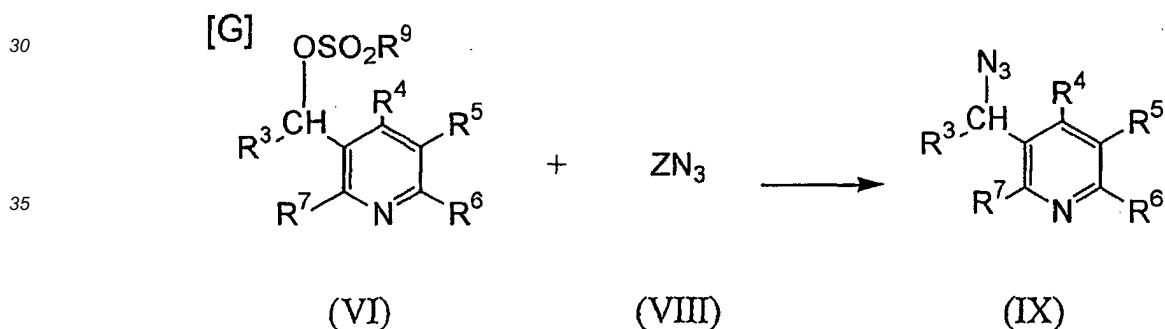
[0043] Namely, the amine derivative of formula (II-1) can be produced by reducing an azide compound of formula (IX).

[0044] As the reduction reaction, suitable is a hydrogenative catalytic reduction using a catalyst such as palladium-carbon, platinum-carbon or Raney nickel.

20 [0045] The above reaction is carried out in a solvent. The solvent may be any one so long as it is inert to the reaction, and examples include alcohols such as methanol and ethanol; cyclic ethers such as tetrahydrofuran and dioxane; and the like.

[0046] The reaction is carried out under hydrogen gas of atmospheric pressure to about 5 atm. The reaction temperature is usually from -20°C to 100°C , preferably from 0°C to 50°C . The reaction time is preferably from 30 minutes to 48 hours.

25 [0047] The above azide compound of formula (IX) can be produced by reacting the sulfonic acid ester derivative of formula (VI) with an alkali metal azide of formula (VIII) according to Process [G]:



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wherein R^3 , R^4 , R^5 , R^6 , R^7 and R^9 have the same meanings as described above; and Z represents an alkali metal such as sodium or potassium.

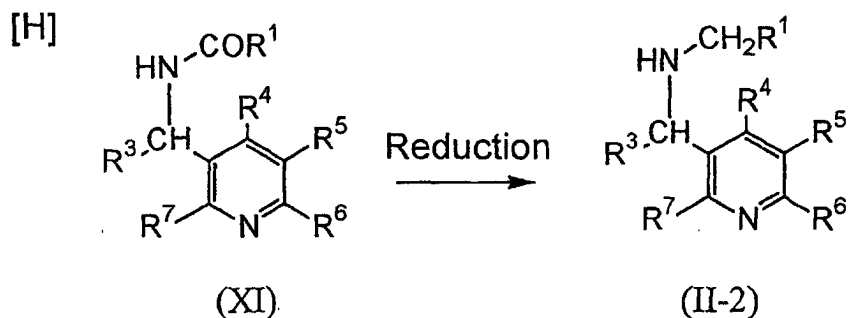
45 [0048] The above reaction is carried out in a solvent. The solvent may be any one so long as it is inert to the reaction, and examples include polar aprotic solvents such as acetonitrile, *N,N*-dimethylformamide and dimethylsulfoxide; cyclic ethers such as tetrahydrofuran and dioxane; halogenated hydrocarbons such as dichloromethane and chloroform; and the like.

[0049] Moreover, the above reaction is carried out under inert gas atmosphere, if necessary. As the inert gas, for example, nitrogen, helium or argon is used.

50 [0050] The reaction temperature is usually from -20°C to 150°C , preferably from -10°C to 120°C . The reaction time is preferably from 30 minutes to 24 hours.

[0051] Among the amine derivatives of formula (II), the compound wherein R^1 is especially alkyl which may be substituted can be produced according to Process [H]:

55



15 wherein R^1 , R^3 , R^4 , R^5 , R^6 and R^7 have the same meanings as described above.

[0052] Namely, the amine derivative of formula (II-2) can be produced by reducing a carboxylic acid amide of formula (XI).

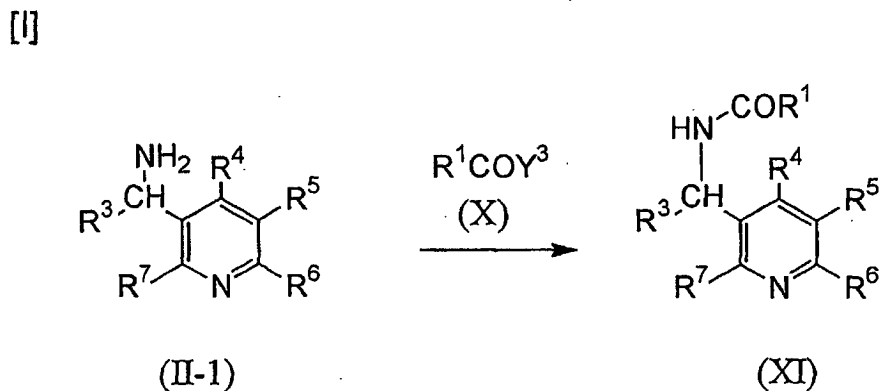
[0053] The reduction reaction is carried out using a reducing agent such as lithium aluminum hydride, sodium borohydride or a borane complex.

20 [0054] The above reaction is carried out in a solvent. The solvent may be any one so long as it is inert to the reaction, and examples include ethers such as tetrahydrofuran and diethyl ether; aromatic hydrocarbons such as toluene and benzene; and the like.

[0055] Moreover, the above reaction is carried out under inert gas atmosphere, if necessary. As the inert gas, for example, nitrogen, helium or argon is used.

25 [0056] The reaction temperature is usually from -20°C to 150°C , preferably from -10°C to 120°C . The reaction time is preferably from 30 minutes to 48 hours.

[0057] The above carboxylic acid amide of formula (XI) can be produced by reacting the amine derivative of formula (II-1) with a carboxylic acid derivative of formula (X) according to Process [I]:



45 wherein R^1 , R^3 , R^4 , R^5 , R^6 and R^7 have the same meanings as described above; and Y^3 represents OH, chlorine, or $R^1\text{COO}$.

[0058] The above reaction is carried out in the presence of a base or a condensing agent. The base and condensing agent includes ones similar to those exemplified in the description of the above Process [A].

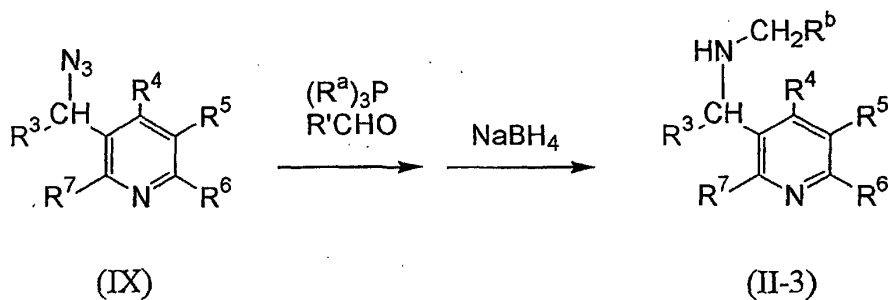
50 [0059] The above reaction is carried out in a solvent. The solvent may be any one so long as it is inert to the reaction and includes ones similar to those exemplified in the description of the above Process [A].

[0060] Moreover, the above reaction is carried out under inert gas atmosphere, if necessary. As the inert gas, for example, nitrogen, helium or argon is used.

55 [0061] The reaction temperature is usually from -20°C to 120°C , preferably from -10°C to 50°C . The reaction time is preferably from 30 minutes to 48 hours.

[0062] Furthermore, the amine derivatives of formula (II) can be produced according to Process [J]:

[J]



wherein R³, R⁴, R⁵, R⁶ and R⁷ have the same meanings as described above; R^a represents alkyl or phenyl; and R^b represents hydrogen or C₁-C₆ alkyl.

15 **[0063]** Namely, the amine derivative of formula (II-3) can be produced by reducing a phosphonium ylide, which is formed in a reaction solution by reacting the azide compound of formula (IX) with a phosphine such as trimethylphosphine or triphenylphosphine and an aldehyde, with sodium borohydride.

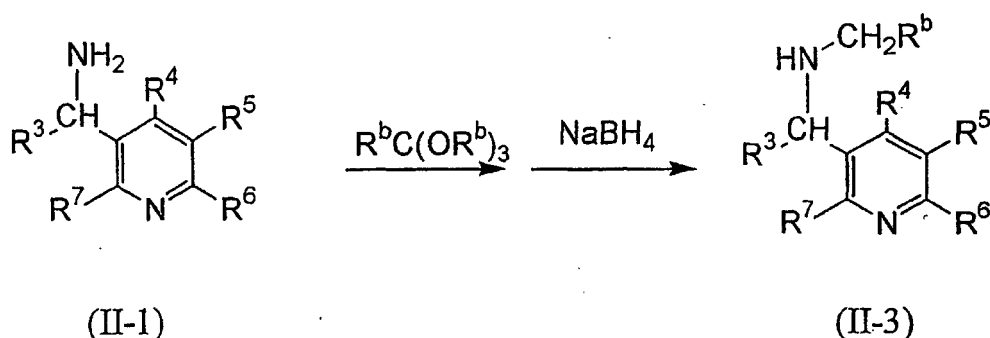
20 **[0064]** The above reaction is carried out in a solvent. The solvent may be any one so long as it is inert to the reaction, and examples include ethers such as tetrahydrofuran and diethyl ether; aromatic hydrocarbons such as toluene and benzene; halogenated hydrocarbons such as dichloromethane and chloroform; alcohols such as methanol and ethanol; and the like.

[0065] Moreover, the above reaction is carried out under inert gas atmosphere, if necessary. As the inert gas, for example, nitrogen, helium or argon is used.

25 **[0066]** The reaction temperature is usually from -20°C to 100°C, preferably from -10°C to 60°C. The reaction time is preferably from 30 minutes to 48 hours.

[0067] Furthermore, the amine derivatives of formula (II) can be produced according to Process [K]:

[K]



wherein R³, R⁴, R⁵, R⁶, R⁷ and R^b have the same meanings as described above.

45 **[0068]** Namely, the amine derivative of formula (II-3) can be produced by reducing alkoxyimine, which is formed by reacting the amine derivative of formula (II-1) with an orthoester such as methyl orthoformate or ethyl orthoacetate, with sodium borohydride.

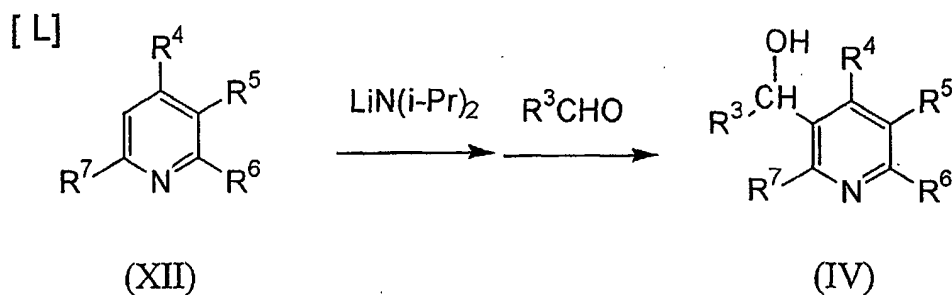
50 **[0069]** The alkoxyimine is formed in the presence of an acid catalyst such as trifluoroacetic acid or acetic anhydride. No solvent is used in the reaction. Moreover, the reaction is carried out under inert gas atmosphere, if necessary. As the inert gas, for example, nitrogen, helium or argon is used.

[0070] The reaction temperature is usually from 50°C to 200°C, preferably from 80°C to 180°C. The reaction time is preferably from 30 minutes to 12 hours.

[0071] The reduction reaction of the alkoxyimine is carried out in a solvent. As the solvent, alcohol such as methanol or ethanol is used.

55 **[0072]** The reaction temperature is usually from -20°C to 120°C, preferably from 0°C to 80°C. The reaction time is preferably from 30 minutes to 12 hours.

[0073] Furthermore, the alcohol derivatives of formula (IV) can be produced according to Process [L]:



wherein R³, R⁴, R⁵, R⁶ and R⁷ have the same meanings as described above.

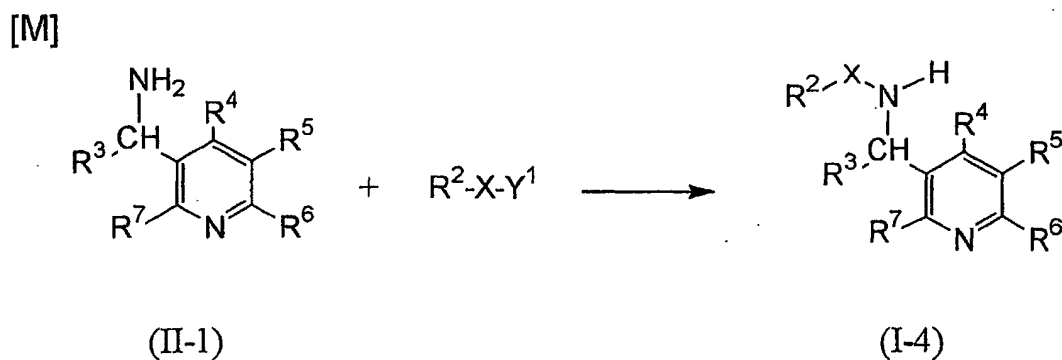
15 **[0074]** Namely, the alcohol derivative of formula (IV) can be obtained by reacting a pyridine derivative of formula (XII) with lithium diisopropylamide and subsequently reacting the product with an aldehyde.

[0075] The above reaction is carried out in a solvent. The solvent may be any one so long as it is inert to the reaction, and examples include ethers such as tetrahydrofuran and diethyl ether.

20 **[0076]** Moreover, the above reaction is carried out under inert gas atmosphere, if necessary. As the inert gas, for example, nitrogen, helium or argon is used.

[0077] The reaction temperature is usually from -100°C to 60°C, preferably from -85°C to 30°C. The reaction time is preferably from 30 minutes to 48 hours.

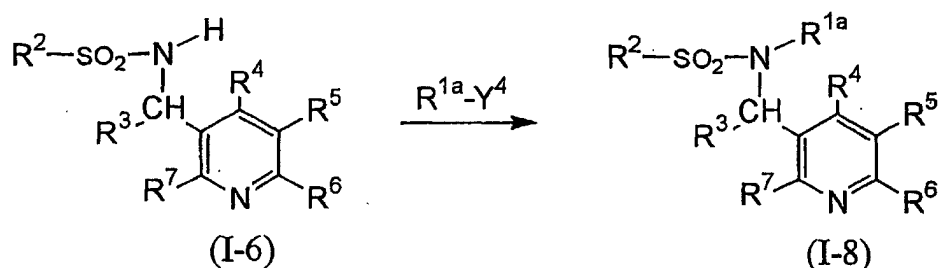
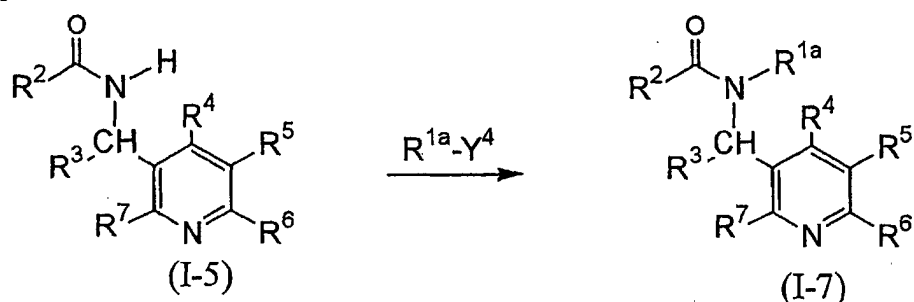
[0078] The pyridine compound of formula (I) can be also produced according to Processes [M] to [N]:



40 wherein R², R³, R⁴, R⁵, R⁶, R⁷, X and Y¹ have the same meanings as described above.

[0079] The above reaction is carried out in a similar manner to the above Process [A].

[N]



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wherein R², R³, R⁴, R⁵, R⁶ and R⁷ have the same meanings as described above, R^{1a} represents alkyl which may be substituted; Y⁴ represents chlorine, bromine, iodine, -OSO₂R⁹, or -OSO₃R⁹; and R⁹ has the same meaning as described above.

30 **[0080]** The above reaction is carried out in the presence of a base. As the base, any of inorganic bases and organic bases can be employed. The inorganic bases include alkali metal hydroxides such as sodium hydroxide and potassium hydroxide; alkali metal hydrides such as sodium hydride and potassium hydride; and the like. The organic salts include organolithium compounds such as *n*-butyllithium and phenyllithium; tertiary amines such as triethylamine; pyridine; and the like.

35 **[0081]** The above reaction is carried out in a solvent. The solvent may be any one so long as it is inert to the reaction, and examples include polar aprotic solvents such as acetonitrile, *N,N*-dimethylformamide and dimethylsulfoxide; ethers such as diethyl ether, tetrahydrofuran and dioxane; aromatic hydrocarbons such as benzene and toluene; and the like. One or two or more of them can be optionally selected.

40 **[0082]** Moreover, the above reaction is carried out under inert gas atmosphere, if necessary. As the inert gas, for example, nitrogen, helium or argon is used.

[0083] The reaction temperature is usually from -100°C to 120°C, preferably from -80°C to 50°C. The reaction time is preferably from 30 minutes to 48 hours.

45 **[0084]** The pyridine compounds of formula (I) (hereinafter also referred to as "the compounds of the present invention") exhibit each an excellent herbicidal effect when used as active ingredients of herbicides. It can be widely applied to crop lands such as paddy field, upland farms, orchards, and mulberry; and non-crop lands such as forests, farm roads, playgrounds, and factory sites, and the applied method can be appropriately selected from soil treatment application, foliar application, water application, and the like.

50 **[0085]** The compound of the present invention can control noxious weeds, for example, grasses (or gramineae) such as barnyardgrass (*Echinochloa crus-galli* L.), carbgrass (*Digitaria sanguinalis* L.), greenfoxtail (*Setaria viridis* L.), giant foxtail (*Setaria faberi* Herrm.), goosegrass (*Eleusine indica* L.), wild oat (*Avena fatua* L.), johnsongrass (*Sorghum halepense* L.), quackgrass (*Agropyron repens* L.), alexandergrass (*Brachiaria plantaginea*), paragrass (*Panicum purascens*), sprangletop (*Leptochloa chinensis*), red sprangletop (*Leptochloa panicea*), annual bluegrass (*Poa annua* L.), and black grass (*Alopecurus myosuroides* Huds.); sedges (or Cyperaceae) such as rice flatsedge (*Cyperus iria* L.), purple nutsedge (*Cyperus rotundus* L.), yellow nutsedge (*Cyperus esculentus* L.), japanese bulrush (*Scirpus juncooides*), flatsedge (*Cyperus serotinus*), small-flower umbrellaplant (*Cyperus difformis*), slender spikerush (*Eleocharis acicularis*), and water chestnut (*Eleocharis kuroguwai*); alismataceae such as japanese ribbon waparo (*Sagittaria pygmaea*), arrow-head (*Sagittaria trifolia*), and narrowleaf waterplantain (*Alisma canaliculatum*); pontederiaceae such as monochoria (*Monochoria vaginalis*) and monochoria species (*Monochoria korsakowii*); scrophulariaceae such as false

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pimpernel (*Lindernia pyxidaria*) and abunome (*Dopatrium junceum*); lythraceae such as toothcup (*Rotala india*) and red stem (*Ammannia multiflora*); broadleaves such as velvetleaf (*Abutilon theophrasti* MEDIC.), tall morningglory (*Ipomoea purpurea* L.), common lambsquarters (*Chenopodium album* L.), prickly sida (*Sida spinosa* L.), common purslane (*Portulaca oleracea* L.), slender amaranth (*Amaranthus viridis* L.), redroot pigweed (*Amaranthus retroflexus* L.), sicklepod (*Cassia obtusifolia* L.), black nightshade (*Solanum nigrum* L.), pale smartweed (*Polygonum lapathifolium* L.), common chickweed (*Stellaria media* L.), common cocklebur (*Xanthium strumarium* L.), flexuous bittercress (*Cardamine flexuosa* WITH.), henbit (*Lamium amplexicaule* L.), common ragweed (*Ambrosia elatior* L.), catchweed (*Galium spurium* L.), field bindweed (*Calystegia arvensis* L.), jimsonweed (*Datura stramonium*), thistle (*Breea setosa* (BIEB.) KITAM.), and threeseeded copperleaf (*Acalypha australis* L.); and the like. Therefore, it can be effectively used for selectively controlling noxious weeds or nonselectively controlling noxious weeds in cultivation of useful crops such as corn (*Zea mays* L.), soybean (*Glycine max* Merr.), cotton (*Gossypium* spp.), wheat (*Triticum* spp.), rice (*Oryza sativa* L.), barley (*Hordeum vulgare* L.), oat (*Avena sativa* L.), sorgho (*Sorghum bicolor* Moench), rape (*Brassica napus* L.), sunflower (*Helianthus annuus* L.), sugar beet (*Beta vulgaris* L.), sugar cane (*Saccharum officinarum* L.), japanese lawngrass (*Zoysia japonica stend*), peanut (*Arachis hypogaea* L.), flax (*Linum usitatissimum* L.), tobacco (*Nicotiana tabacum* L.), and coffee (*Coffea* spp.). Particularly, the compound of the present invention is effectively used for selectively controlling noxious weeds in cultivation of corn, soybean, cotton, wheat, rice, rape, sunflower, sugar beet, sugar cane, japanese lawngrass, peanut, flax, tobacco, coffee, and the like, and among these, especially corn, soybean, wheat, rice, and the like.

[0086] The herbicidal composition comprising the compound of the present invention is usually formulated by mixing the compound with various agricultural adjuvants and used in the form of a formulation such as a dust, granules, water-dispersible granules, a wettable powder, a water-based suspension concentrate, an oilbased suspension concentrate, water soluble granules (or powder), an emulsifiable concentrate, tablets or capsules. However, so long as it is suitable for the purpose of the present invention, it may be formulated into any type of formulation which is commonly used in this field. Such agricultural adjuvants include solid carriers such as diatomaceous earth, slaked lime, calcium carbonate, talc, white carbon, kaoline, bentonite, a mixture of kaolinite and sericite, clay, sodium carbonate, sodium bicarbonate, mirabilite, zeolite and starch; solvents such as water, toluene, xylene, solvent naphtha, dioxane, acetone, isophorone, methyl isobutyl ketone, chlorobenzene, cyclohexane, dimethylsulfoxide, dimethylformamide, N-methyl-2-pyrrolidone, and alcohol; anionic surfactants and spreaders such as a salt of fatty acid, a benzoate, an alkylsulfosuccinate, a dialkylsulfosuccinate, a polycarboxylate, a salt of alkylsulfuric acid ester, an alkyl sulfate, an alkylaryl sulfate, an alkyl diglycol ether sulfate, a salt of alcohol sulfuric acid ester, an alkyl sulfonate, an alkylaryl sulfonate, an aryl sulfonate, a lignin sulfonate, an alkyldiphenyl ether disulfonate, a polystyrene sulfonate, a salt of alkylphosphoric acid ester, an alkylaryl phosphate, a styrylaryl phosphate, a salt of polyoxyethylene alkyl ether sulfuric acid ester, a polyoxyethylene alkylaryl ether sulfate, a salt of polyoxyethylene alkyl ether sulfuric acid ester, a polyoxyethylene alkylaryl ether sulfuric acid ester, a polyoxyethylene alkyl ether phosphate, a salt of polyoxyethylene alkyl aryl phosphoric acid ester, and a salt of a condensate of naphthalene sulfonate with formalin; nonionic surfactants and spreaders such as a sorbitan fatty acid ester, a glycerin fatty acid ester, a fatty acid polyglyceride, a fatty acid alcohol polyglycol ether, acetylene glycol, acetylene alcohol, an oxyalkylene block polymer, a polyoxyethylene alkyl ether, a polyoxyethylene alkylaryl ether, a polyoxyethylene styrylaryl ether, a polyoxyethylene glycol alkyl ether, a polyoxyethylene fatty acid ester, a polyoxyethylene sorbitan fatty acid ester, a polyoxyethylene glycerin fatty acid ester, a polyoxyethylene hydrogenated castor oil, and a polyoxypropylene fatty acid ester; and vegetable and mineral oils such as olive oil, kapok oil, castor oil, palm oil, camellia oil, coconut oil, sesame oil, corn oil, rice bran oil, peanut oil, cottonseed oil, soybean oil, rapeseed oil, linseed oil, tung oil, and liquid paraffins. Such adjuvants may be selected for use among those known in this field, so long as the purpose of the present invention can thereby be accomplished. Further, various additives which are commonly used, such as a filler, a thickener, an anti-settling agent, an anti-freezing agent, a dispersion stabilizer, a phytotoxicity reducing agent, and an anti-mold agent, may also be employed. The weight ratio of the compound of the present invention to the various agricultural adjuvants is usually from 0.1 : 99.9 to 95 : 5, preferably from 0.2 : 99.8 to 85 : 15.

[0087] The dose of the herbicidal composition of the present invention cannot generally be defined, since it may vary depending upon the weather conditions, the soil conditions, the type of the formulation, the types of the weeds to be controlled, the season for the application, etc. However, it is usually applied so that the compound of the present invention would be applied in an amount of from 0.5 to 5,000 g/ha, preferably from 1 to 1,000 g/ha, and more preferably from 10 to 500 g/ha. The present invention covers such a method for controlling noxious weeds by application of such a herbicidal composition.

[0088] The herbicidal compositions of the present invention may be used in admixture with or in combination with other agricultural chemicals, fertilizers or phytotoxicity-reducing agents. In such a case, they may exhibit even better effects or activities. As other agricultural chemicals, herbicides, fungicides, antibiotics, plant hormones or insecticides may, for example, be mentioned. Especially with a mixed herbicidal composition having the compound of the present invention used in admixture with or in combination with one or more active ingredients of other herbicides, it is possible

to improve the herbicidal activities, the season for the application and the range of applicable weed types. Further, the compound of the present invention and an active ingredient of other herbicide may be separately formulated, so that they may be mixed for use at the time of application, or both may be formulated together. The present invention covers such mixed herbicidal compositions.

[0089] The blend ratio of the compounds of the present invention with the active ingredients of other herbicides cannot generally be defined, since it varies depending upon the weather conditions, the soil conditions, the type of the formulation, the season for the application, the manner of the application, etc. However, one active ingredient of other herbicide may be incorporated usually in an amount of from 0.001 to 10,000 parts by weight, preferably from 0.01 to 1,000 parts by weight, per part by weight of the compound of the present invention. Further, the total dose of all of the active ingredients is usually from 0.1 to 10,000 g/ha, preferably from 0.2 to 5,000 g/ha, and more preferably from 10 to 3,000 g/ha. The present invention covers a method for controlling noxious weeds by application of such mixed herbicidal compositions.

[0090] Examples of active ingredients of other herbicides are shown below (common name; a part thereof is under application for ISO). Even if there is no description, salts, alkylesters, and the like of the compounds, if they exists, are included as a matter of course.

(1) Those which are believed to exhibit herbicidal effects by disturbing hormone activities of plants, for example, phenoxy compounds such as 2,4-D, 2,4-DP, MCPA, MCPB, MCPP, and naproanilide; aromatic carboxylic acid compounds such as 2,3,6-TBA, dicamba, dichlobenil, picloram, and clopyralid; others such as benazolin, quinclorac, quinmerac, diflufenzopyr, thiazopyr, etc.

(2) Those which are believed to exhibit herbicidal effects by inhibiting photosynthesis of plants, for example, urea compounds such as chlorotoluron, diuron, fluometuron, linuron, isoproturon, metobenzuron, and tebuthiuron; triazine compounds such as simazine, atrazine, atratone, simetryn, prometryn, dimethametryn, hexazinone, metribuzin, terbutylazine, cyanazine, ametryn, cybutryne, triaziflam, and propazine; uracil compounds such as bromacil, lenacil, and terbacil; anilide compounds such as propanil and cypromid; carbamate compounds such as sweep, desmedipham, and phenmedipham; hydroxybenzotrile compounds such as bromoxynil, bromoxynil-oc-tanoate, and ioxynil; others such as pyridate, bentazon, amicarbazone, etc.

(3) Quarternary ammonium salt compounds such as paraquat, diquat which are believed to be converted to free radicals by themselves to form active oxygen to thereby exhibit quick herbicidal effects.

(4) Those which are believed to exhibit herbicidal effects by inhibiting chlorophyllbiosynthesis of plants and abnormally accumulating a photosensitizing peroxide substance in the plant body, for example, diphenyl ether compounds such as nitrofen, chlomethoxyfen, bifenox, acifluorfen-sodium, fomesafen, oxyfluorfen, lactofen, and ethoxyfen-ethyl; cyclic imide compounds such as chlorphthalim, flumioxazin, flumiclorac-pentyl, and fluthiacet-methyl; others such as oxadiargyl, oxadiazon, sulfentrazone, carfentrazone-ethyl, thidiazimin, pentoxazone, azafenidin, pyraflufen-ethyl, benzfendizone, butafenacil, metobenzuron, cinidon-ethyl, flupoxam, fluazolate, profluzol, pyrachlonil, etc.

(5) Those which are believed to exhibit herbicidal effects characterized by whitening activities by inhibiting chromogenesis of plants such as carotenoids, for example, pyridazinone compounds such as norflurazon and metflurazon; pyrazole compounds such as pyrazolate, pyrazoxyfen and benzofenap; others such as amitrol, fluridone, flurtamone, diflufenican, methoxyphenone, clomazone, sulcotrione, mesotrione, isoxaflutole, difenzoquat, isoxachlortole, benzobicyclone, picolinofen, beflubutamid, etc.

(6) Those which exhibit herbicidal effects specifically to gramineous plants, for example, aryloxyphenoxypropionic acid compounds such as diclofop-methyl, flamprop-M-methyl, pyriphenop-sodium, fluazifop-butyl, haloxyfop-methyl, quizalofop-ethyl, cyhalofop-butyl, and fenoxaprop-ethyl; cyclohexanedione compounds such as alloxym-sodium, clethodim, sethoxydim, tralkoxydim, butroxydim, tepraloxym, caloxydim, and clefoxydim; etc.

(7) Those which are believed to exhibit herbicidal effects by inhibiting an amino acid biosynthesis of plants, for example, sulfonyleurea compounds such as chlorimuron-ethyl, sulfometuron-methyl, primisulfuron-methyl, bensulfuron-methyl, chlorsulfuron, metsulfuron-methyl, cinosulfuron, pyrazosulfuron-ethyl, azimsulfuron, flazasulfuron, rimsulfuron, nicosulfuron, imazosulfuron, cyclosulfamuron, prosulfuron, flupyrsulfuron, trisulfuron-methyl, halosulfuron-methyl, thifensulfuron-methyl, ethoxysulfuron, oxasulfuron, ethametsulfuron, flupyrsulfuron, iodossulfuron, sulfosulfuron, tritosulfuron, foramsulfuron, and trifloxysulfuron; triazolopyrimidine compounds such as flumetsulam, metosulam, diclosulam, cloransulam-methyl, florasulam, metosulfam, and penoxsulam; imidazolynone com-

pounds such as imazapyr, imazethapyr, imazaquin, imazamox, imazameth, imazamethabenz, and imazapic; pyrimidinylsalicylic acid compounds such as pyriithiobac-sodium, bispyribac-sodium, pyriminobac-methyl, pyribenzoxim, and pyrithalid; sulfonylaminocarbonyltriazolinone compounds such as flucarbazone and procarbazon-sodium; others such as glyphosate-ammonium, glyphosate-isopropylamine, glufosinate-ammonium, bialaphos, etc.

(8) Those which are believed to exhibit herbicidal effects by inhibiting cell division of plant cell, for example, dinitroaniline compounds such as trifluralin, oryzalin, nitralin, pendimethalin, and ethalfluralin; organic phosphorus compounds such as amiprofos-methyl, butamifos, anilofos, and piperophos; phenylcarbamate compounds such as chlorpropham and barban; cumylamine compounds such as daimuron, cumyluron, and bromobutide; others such as asulam, dithiopyr, thiazopyr, etc.

(9) Those which are believed to exhibit herbicidal effects by inhibiting protein biosynthesis or lipid biosynthesis of plants, for example, thiocarbamate compounds such as EPTC, butylate, molinate, dimepiperate, fluzolate, esprocarb, thiobencarb, pyributicarb, and triallate; chloroacetamide compounds such as alachlor, butachlor, pretilachlor, metolachlor, S-metolachlor, thenylchlor, pethoxamid, dimethenamid, acetochlor, and propachlor; others such as etobenzanid, mefenacet, flufenacet, tridiphane, cafenstrole, fentrazamide, oxaziclomefone, indanofan, etc.

(10) Those which are believed to exhibit herbicidal effects by infection on the plant bodies, for example, *Xanthomonas campestris*, *Epicoccosurus nematosurus*, *Exserohilum monoseras*, *Drechsrela monoceras*, etc.

[0091] In addition, as shown in Test Examples to be mentioned below, the compounds of the present invention include those having safety toward crops such as corn, soybean, wheat and rice and exhibiting selectivity allowing satisfactory control of weeds. However, when the compounds of the present invention are used in the cultivation of the above crops, mixed use or combined use thereof with one or two or more of the following compounds among the active ingredient compounds of other herbicides mentioned above sometimes affords a synergistic effect.

[0092] Cultivation of rice: 2,4-D, MCPA, MCPB, naproanilide, dichlobenil, quinclorac, simetryn, prometryn, dimethametryn, propanil, swep, bentazon, nitrofen, chlomethoxyfen, bifenox, oxadiargyl, oxadiazon, sulfentrazone, carfentrazone-ethyl, pentoxazone, pyrazolate, pyrazoxyfen, benzofenap, methoxyphenone, cyhalofop-butyl, fenoxaprop-ethyl, bensulfuron-methyl, cinosulfuron, pyrazosulfuron-ethyl, azimsulfuron, imazosulfuron, cyclosulfamuron, ethoxysulfuron, penoxsulam, bispyribac-sodium, pyriminobac-methyl, anilofos, piperophos, daimuron, cumyluron, bromobutide, dithiopyr, molinate, dimepiperate, esprocarb, thiobencarb, pyributicarb, thenylchlor, pretilachlor, butachlor, etobenzanid, mefenacet, flufenacet, cafenstrole, fentrazamide, oxaziclomefone, indanofan, benzobicyclone, pyribenzoxim, triaziflam, clefoxydim, pyrachlonil, pyrithalid.

[0093] Cultivation of soybean: 2,4-D, linuron, metribuzin, cyanazine, bentazon, paraquat, acifluorfen-sodium, fomesafen, lactofen, ethoxyfen-ethyl, flumiclorac-pentyl, flumioxazin, fluthiacet-methyl, sulfentrazone, norflurazon, clomazone, fluazifop-butyl, quizalofop-ethyl, fenoxaprop-ethyl, haloxyfop-methyl, clethodim, sethoxydim, butoxydim, terpaloxymid, chlorimuron-ethyl, thifensulfuron-methyl, oxasulfuron, flumetsulam, cloransulam-methyl, diclosulam, imazapyr, imazethapyr, imazaquin, imazamox, imazapic, trifluralin, pendimethalin, ethalfluralin, alachlor, pethoxamid, metolachlor, S-metolachlor, acetochlor, dimethenamid, flufenacet.

[0094] Cultivation of corn: 2,4-D, MCPA, dicamba, clopyralid, benazolin, diflufenzopyr, diuron, linuron, metobenzuron, simazine, atrazine, atratone, metribuzin, terbuthylazine, cyanazine, ametryn, cypromid, bromoxynil, bromoxynil-octanoate, pyridate, bentazon, paraquat, oxyfluorfen, flumiclorac-pentyl, fluthiacet-methyl, fluridone, sulcotrione, mesotrione, isoxaflutole, carfentrazone-ethyl, primisulfuron-methyl, rimsulfuron, nicosulfuron, prosulfuron, halosulfuron-methyl, thifensulfuron-methyl, flumetsulam, metosulam, imazethapyr, glyphosate-ammonium, glyphosate-isopropylamine, glufosinate-ammonium, trifluralin, pendimethalin, EPTC, butylate, alachlor, pethoxamid, metolachlor, S-metolachlor, acetochlor, propachlor, dimethenamid, tridiphane, florasulam, metobenzuron, metosulfam, oxasulfuron, terpaloxymid.

[0095] Cultivation of wheat: MCPB, dichlobenil, quinmerac, chlorotoluron, linuron, isoproturon, prometryn, bromoxynil, bromoxynil-octanoate, pyridate, bifenox, carfentrazone-ethyl, thidiazimin, pyraflufen-ethyl, flurtamone, diflufenican, sulcotrione, diclofop-methyl, flamprop-M-methyl, tralkoxydim, chlorsulfuron, metsulfuron-methyl, prosulfuron, halosulfuron-methyl, flumetsulam, metosulam, pendimethalin, barban, imazamethabenz, cinidon-ethyl, ethoxyfen-ethyl, florasulam, fluzolate, flupoxam, iodosulfuron, metosulfam, pyribenzoxim, sulfosulfuron, tralkoxydim, procarbazon-sodium, picolinofen, cyclosulfamuron, ethoxysulfuron, imazamox.

[0096] Preferred embodiments of the present invention are as follows. However, the present invention is not limited thereto.

(1) A pyridine compound of the above formula (I), wherein the above substituent for the alkyl which may be sub-

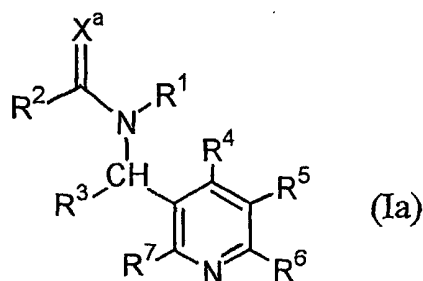
stituted, the alkenyl which may be substituted, the alkynyl which may be substituted, the cycloalkyl which may be substituted, cycloalkenyl which may be substituted, the alkoxy which may be substituted, the alkylthio which may be substituted, the mono- or di-alkylamino which may be substituted, the cyclic alkylamino which may be substituted and the cyclic ether group which may be substituted is at least one selected from the group consisting of halogen, alkyl, alkoxy, alkylthio, dialkylamino, trimethylsilyl, cycloalkyl, cycloalkenyl, alkylcarbonyl, alkoxy carbonyl, cyclic ether, aryl which may be further substituted, aryloxy which may be further substituted, arylthio which may be further substituted, and heteroaryl which may be further substituted, and wherein the above substituent for the phenylamino which may be substituted, aryl which may be substituted and heteroaryl which may be substituted is at least one selected from the group consisting of halogen, alkyl, haloalkyl, alkoxy, alkylthio, alkoxy carbonyl, nitro, cyano, trimethylsilyl, alkoxyimino, and phenyl which may be further substituted, or a salt thereof; a herbicide comprising it as an active ingredient; and a process for controlling noxious weeds by applying an effective amount thereof.

(2) A pyridine compound of the above formula (I), wherein the above cyclic alkylamino is aziridinyl, azetidiny, pyrrolidinyl or piperidinyl; the aryl is phenyl, naphthyl, or indanyl; the cyclic ether is epoxy, tetrahydrofuryl, 1,3-dioxolanyl, or 1,3-dioxanyl; the heteroaryl is a 5-membered or 6-membered aryl containing 1 to 3 heteroatoms selected from oxygen, sulfur and nitrogen, or the aryl condensed with a benzene ring, or a salt thereof; a herbicide comprising it as an active ingredient; and a process for controlling noxious weeds by applying an effective amount thereof.

(3) A pyridine compound of the above formula (I), wherein the above heteroaryl is thienyl, furanyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, imidazolyl, pyrazolyl, triazolyl, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, benzothienyl, benzofuranyl, indolyl, benzothiazolyl, benzisothiazolyl, benzoxazolyl, benzisoxazolyl, benzimidazolyl, indazolyl, quinolyl, isoquinolyl, phthalazinyl, quinazoliny, or quinoxaliny or a salt thereof; a herbicide comprising it as an active ingredient; and a process for controlling noxious weeds by applying an effective amount thereof.

(4) A pyridine compound of the above formula (I), wherein R^4 is hydrogen, alkyl, trifluoromethyl, halogen, $-OR^8$, or $-SR^8$, or a salt thereof; a herbicide comprising it as an active ingredient; and a process for controlling noxious weeds by applying an effective amount thereof.

(5) A pyridine compound of the above formula (I), wherein the above formula (I) is formula (Ia):



wherein R^1 represents hydrogen or alkyl which may be substituted; R^2 represents alkyl which may be substituted, alkenyl which may be substituted, alkynyl which may be substituted, cycloalkyl which may be substituted, alkoxy which may be substituted, alkylthio which may be substituted, mono- or di-alkylamino which may be substituted, phenylamino which may be substituted, or cyclic alkylamino which may be substituted; R^3 represents alkyl which may be substituted, cycloalkyl which may be substituted, aryl which may be substituted, or heteroaryl which may be substituted; R^4 represents alkyl, haloalkyl, $-OR^8$, or $-SR^8$; R^5 , R^6 and R^7 each represents hydrogen, halogen, or alkyl; R^8 represents alkyl which may be substituted, alkenyl which may be substituted, alkynyl which may be substituted, or cycloalkyl which may be substituted; and X^a represents oxygen or sulfur, wherein R^4 is not chlorodifluoromethyl, bromodifluoromethyl, or iododifluoromethyl, or a salt thereof; a herbicide comprising it as an active ingredient; and a process for controlling noxious weeds by applying an effective amount thereof.

Best Mode for Carrying Out the Invention

[0097] Synthetic methods of the compounds of the present invention are explained below with reference to Examples.

Also, synthetic methods of synthetic intermediates of the compounds of the present invention are also described.

Example 1: Synthesis of *N*-methyl-*N*-[2-methyl-1-(4-trifluoromethylpyridin-3-yl)propyl]phenylacetamide (Compound No. I-1)

[0098] To 5 ml of acetonitrile were added 0.184 g of 1-methylamino-2-methyl-1-(4-trifluoromethylpyridin-3-yl)propane and 0.115 g of potassium carbonate, followed by stirring at room temperature for 30 minutes to form a solution. Thereto was added 0.129 g of phenylacetyl chloride, followed by continuously stirring at room temperature for another 14 hours. After completion of the reaction, the reaction solution was extracted with added 50 ml of water and 100 ml of ethyl acetate. The resulting organic layer was washed with water and saturated brine and then dried over anhydrous magnesium sulfate. The ethyl acetate was removed by evaporation under reduced pressure and the residue was purified by silica gel column chromatography (developing solvent: *n*-hexane/ethyl acetate = 1/1) to obtain 0.15 g (yield 54%) of the desired product as colorless crystals (melting point 71.2°C).

Example 2: Synthesis of *N*-ethyl-*N*-[2-methyl-1-(4-trifluoromethylpyridin-3-yl)propyl]2,6-difluorophenylacetamide (Compound No. I-30)

[0099] To 20 ml of acetonitrile were added 0.20 g of 1-ethylamino-2-methyl-1-(4-trifluoromethylpyridin-3-yl)propane and 0.15 g of potassium carbonate, followed by stirring at room temperature for 30 minutes to form a solution. Thereto was added 0.20 g of 2,6-difluorophenylacetyl chloride, followed by continuously stirring at room temperature for another 9 hours. After completion of the reaction, the reaction solution was extracted with added 50 ml of water and 100 ml of ethyl acetate. The resulting organic layer was washed with water and saturated brine and then dried over anhydrous magnesium sulfate. The ethyl acetate was removed by evaporation under reduced pressure and the residue was purified by silica gel column chromatography (developing solvent: *n*-hexane/ethyl acetate = 1/1) to obtain 0.16 g (yield 49%) of the desired product as colorless crystals (melting point 121.9°C).

Example 3: Synthesis of *N*-methyl-*N*-[2-methyl-1-(4-trifluoromethylpyridin-3-yl)propyl]phenylsulfonamide (Compound No. I-117)

[0100] To 10 ml of acetonitrile were added 0.226 g of 1-methylamino-2-methyl-1-(4-trifluoromethylpyridin-3-yl)propane and 0.18 g of potassium carbonate, followed by stirring at room temperature for 30 minutes to form a solution. Thereto was added 0.22 g of benzenesulfonyl chloride, followed by continuously stirring at room temperature for another 12 hours. After completion of the reaction, the reaction solution was extracted with added 50 ml of water and 100 ml of ethyl acetate. The resulting organic layer was washed with water and saturated brine and then dried over anhydrous magnesium sulfate. The ethyl acetate was removed by evaporation under reduced pressure and the residue was purified by silica gel column chromatography (developing solvent: *n*-hexane/ethyl acetate = 1/1) to obtain 0.18 g (yield 50%) of the desired product as an oily substance.

Example 4: Synthesis of *N*-methyl-*N*-[2-methyl-1-(4-trifluoromethylpyridin-3-yl)propyl] 2-phenoxypropionamide (Compound No. I-119)

[0101] To 10 ml of acetonitrile were added 0.23 g of 1-methylamino-2-methyl-1-(4-trifluoromethylpyridin-3-yl)propane and 0.18 g of potassium carbonate, followed by stirring at room temperature for 30 minutes to form a solution. Thereto was added 0.24 g of 2-phenoxypropionyl chloride, followed by continuously stirring at room temperature for another 10 hours. After completion of the reaction, the reaction solution was extracted with added 50 ml of water and 100 ml of ethyl acetate. The resulting organic layer was washed with water and saturated brine and then dried over anhydrous magnesium sulfate. The ethyl acetate was removed by evaporation under reduced pressure and the residue was purified by silica gel column chromatography (developing solvent: *n*-hexane/ethyl acetate = 3/2) to obtain 0.156 g (yield 41 %) of the amorphous desired product.

Example 5: Synthesis of *N*-methyl-*N*-[2-methyl-1-(4-trifluoromethylpyridin-3-yl)propyl] 3-phenoxypropenamide (Compound No. I-166)

[0102] To a tetrahydrofuran (10 ml) solution of 0.30 g of 1-methylamino-2-methyl-1-(4-trifluoromethylpyridin-3-yl)propane were added dropwise 0.36 g of cinnamoyl chloride and 0.29 g of triethylamine at 0°C. After stirring at room temperature for 7 hours, water was added to the reaction solution, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and saturated brine and then dried over anhydrous magnesium sulfate. The ethyl acetate was removed by evaporation under reduced pressure and the residue was purified by silica gel

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column chromatography (developing solvent: *n*-hexane/ethyl acetate = 1/1) to obtain 73 mg (yield 15%) of the oily desired product.

5 Example 6: Synthesis of *N*-methyl-*N*-[2-methyl-1-(4-trifluoromethylpyridin-3-yl)propyl]butanamide (Compound No. I-189)

10 **[0103]** To a tetrahydrofuran (7 ml) solution of 0.17 g of 1-methylamino-2-methyl-1-(4-trifluoromethylpyridin-3-yl)propane were added dropwise 0.11 g of butyryl chloride and 0.12 g of triethylamine at 0°C. After stirring at room temperature for 18 hours, the reaction solution was extracted with added 50 ml of water and 100 ml of ethyl acetate. The resulting organic layer was washed with water and saturated saline solution and then dried over anhydrous magnesium sulfate. The ethyl acetate was removed by evaporation under reduced pressure and the residue was purified by silica gel column chromatography (developing solvent: *n*-hexane/ethyl acetate = 1/2) to obtain 0.19 g (yield 86%) of the oily desired product.

15 Example 7: Synthesis of 1-(2-chloro-4-trifluoromethylpyridin-3-yl)-2-methyl-1-propanol

20 **[0104]** A tetrahydrofuran (200 ml) solution of 21.7 g of diisopropylamine was cooled to -70°C and 114 ml of *n*-butyllithium was gradually added dropwise thereto. After continuously stirring at the same temperature for 15 minutes, 30 g of 2-chloro-4-trifluoromethylpyridine was added dropwise, followed by stirring for 1 hour. Then, a tetrahydrofuran (30 ml) solution of 11.9 g of isobutyraldehyde was added dropwise, followed by continuously stirring at the same temperature for 2 hours. After completion of the reaction, the reaction solution was extracted with added an aqueous ammonium chloride solution and ethyl acetate. The organic layer was washed with water and saturated brine and then dried over anhydrous magnesium sulfate. The ethyl acetate was removed by evaporation under reduced pressure and the residue was purified by silica gel column chromatography (developing solvent: *n*-hexane/ethyl acetate = 4/1) to obtain 25 33 g (yield 79%) of the desired product as an oily substance.

Example 8: Synthesis of 1-(4-trifluoromethylpyridin-3-yl)-2-methyl-1-propanol

30 **[0105]** In 300 ml of methanol were dissolved 33 g of 1-(2-chloro-4-trifluoromethylpyridin-3-yl)-2-methyl-1-propanol obtained in the above Example 7 and 23.5 g of triethylamine, and thereto was added 3.0 g of a 10% palladium-carbon catalyst (50% hydrate). The suspension was stirred at room temperature for 8 hours under hydrogen gas atmosphere of 2 atm. After separation of insoluble matter by filtration, the solvent was removed by evaporation under reduced pressure and the residue was extracted with added ethyl acetate and water. The organic layer was washed with water and saturated saline solution and then dried over anhydrous magnesium sulfate. The ethyl acetate was removed by 35 evaporation under reduced pressure and the residue was purified by silica gel column chromatography (developing solvent: *n*-hexane/ethyl acetate = 1/1) to obtain 22 g (yield 77%) of the desired product as a light yellow liquid.

Example 9: Synthesis of 2-methyl-1-(4-trifluoromethylpyridin-3-yl)-1-propyl methanesulfonate

40 **[0106]** In 50 ml of pyridine was dissolved 5 g of 1-(4-trifluoromethylpyridin-3-yl)-2-methyl-1-propanol obtained in the above Example 8, and then 3.1 g of methanesulfonyl chloride was added dropwise at room temperature. After stirring of the solution at room temperature for 8 hours, the pyridine was removed by evaporation under reduced pressure and the residue was extracted with added ethyl acetate and water. The organic layer was washed with water and saturated saline solution and then dried over anhydrous magnesium sulfate. The ethyl acetate was removed by evaporation 45 under reduced pressure and the residue was purified by silica gel column chromatography (developing solvent: *n*-hexane/ethyl acetate = 1/1) to obtain 5.8 g (yield 86%) of the desired product as a white amorphous solid.

Example 10: Synthesis of 1-methylamino-2-methyl-1-(4-trifluoromethylpyridin-3-yl)-propane

50 **[0107]** Two grams of 2-methyl-1-(4-trifluoromethylpyridin-3-yl)-1-propyl methanesulfonate obtained in the above Example 9 was reacted with 30 ml of a 40% methylamine methanol solution in an autoclave at 100°C for 8 hours. After removal of the solvent by evaporation, the residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/methanol = 9/1) to obtain 0.2 g (yield 13%) of the desired product as a light yellow liquid.

55 Example 11: Synthesis of 1-azido-2-methyl-1-(4-trifluoromethylpyridin-3-yl)-propane

[0108] To 100 ml of a dimethylsulfoxide solution of 10 g of 2-methyl-1-(4-trifluoromethylpyridin-3-yl)-1-propyl methanesulfonate synthesized according to the above Example 9 was added 4.4 g of sodium azide at room temperature,

followed by heating to 70°C and continuously stirring for 4 hours. The reaction liquid was cooled to room temperature and then extracted with added water and ether. The organic layer was washed with water and saturated brine and then dried over anhydrous magnesium sulfate. The solvent was removed by evaporation to obtain 8 g (yield 97%) of the desired product as a yellow liquid.

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Example 12: Synthesis of 1-methylamino-2-methyl-1-(4-trifluoromethylpyridin-3-yl)-propane

[0109] To 80 ml of a dichloromethane solution of 3g of 1-azido-2-methyl-1-(4-trifluoromethylpyridin-3-yl)-propane obtained in Example 11 was added dropwise 16.6 ml of a 1M tetrahydrofuran solution of trimethylphosphine at room temperature, followed by stirring for 2 hours. Then, 1.87 g of paraformaldehyde was gradually added and the whole was stirred for 8 hours. The reaction solution was cooled to 0°C and 50 ml of an ethanol solution of 2.33 g of sodium borohydride was added dropwise, followed by stirring at the same temperature for 1 hour. The reaction solution was neutralized with an aqueous saturated sodium hydrogen carbonate solution and then extracted with added dichloromethane. The organic layer was washed with water and saturated brine and then dried over anhydrous magnesium sulfate. After removal of the solvent by evaporation, the residue was purified by silica gel column chromatography (developing solvent: ethyl acetate) to obtain 1.4 g (yield 49%) of the desired product as a light yellow liquid.

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Example 13: Synthesis of 1-amino-2-methyl-1-(4-trifluoromethylpyridin-3-yl)-propane

[0110] In 18 ml of methanol was dissolved 1.38 g of 1-azido-2-methyl-1-(4-trifluoromethylpyridin-3-yl)-propane obtained in the above Example 11, and thereto was added 0.36 g of a 5% palladium-carbon catalyst. The suspension was stirred at room temperature for 4 hours under hydrogen gas atmosphere of 2 atm. After separation of insoluble matter by filtration, the solvent was removed by evaporation under reduced pressure and the residue was purified by silica gel column chromatography (developing solvent: *n*-hexane/ethyl acetate = 1/1) to obtain 1.0 g (yield 81%) of the desired product as a solid.

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Example 14: Synthesis of 1-methylamino-2-methyl-1-(4-trifluoromethylpyridin-3-yl)-propane

[0111] To 0.5 g of 1-amino-2-methyl-1-(4-trifluoromethylpyridin-3-yl)-propane obtained in the above Example 13 were added 5.5 ml of triethyl orthoformate and one drop of trifluoroacetic acid, followed by heating at 150°C for 4 hours. The reaction solution was concentrated and 7 ml of ethanol was added to the residue. To the resulting solution was added 0.16 g of sodium borohydride under ice cooling. After completion of the addition, the resulting mixture was heated under reflux until gas evolution ceased. The reaction mixture was concentrated under reduced pressure and then the residue was poured into ice-water, followed by three times of extraction with dichloromethane and drying over magnesium sulfate. After removal of the dichloromethane by evaporation under reduced pressure, the residue was purified by silica gel column chromatography (developing solvent: ethyl acetate) to obtain 87 mg (yield 16%) of the oily desired product.

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[0112] Next, representative examples of the pyridine compounds of the above formula (I) are shown in Table 1. These compounds can be produced in accordance with the above synthetic examples or the above Processes [A] to [L]. Also, in the tables, Me represents methyl, Et represents ethyl, (*n*)Pr represents *n*-propyl, (*i*)Pr represents isopropyl, (*n*)Bu represents *n*-butyl, (*i*)Bu represents isobutyl, (*s*)Bu represents *sec*-butyl (i.e., 1-methylpropyl), (*t*)Bu represents *tert*-butyl, (*n*)Pe represents *n*-pentyl, (*n*)Hex represents *n*-hexyl, (*n*)Oc represents *n*-octyl, MeO- represents methoxy, MeS- represents methylthio, EtO- represents ethoxy, (*i*)BuO- represents isobutyloxy, Ph represents phenyl, PhCH₂- represents benzyl, and PhCH(CH₃)- represents α -methylbenzyl. Moreover, in the tables, 3-Pe represents pentan-3-yl (i.e., 1-ethylpropyl), 4-I-PhCH₂- represents 4-iodobenzyl, 2,4-di-Cl-PhCH₂- represents 2,4-dichlorobenzyl, 2,3,6-tri-F-PhCH₂- represents 2,3,6-trifluorobenzyl, and 2,3,4,5,6-penta-F-PhOCH₂- represents 2,3,4,5,6-pentafluorophenoxymethyl. These definitions may similarly apply to other similar descriptions.

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[0113] The "oil" in the tables represents an oily substance. Moreover, Compound Nos. 1-221 and 1-222 are diastereomers for each other.

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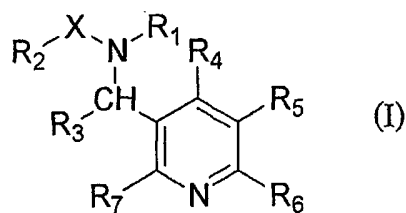


TABLE 1

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Comp. No.	X	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶	R ⁷	Properties (mp:°C)
I-1	C=O	Me	PhCH ₂ -	(i)Pr	CF ₃	H	H	H	71.2
I-2	C=O	Me	4-I-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	91.2
I-3	C=O	H	PhCH ₂ -	(i)Pr	CF ₃	H	H	H	132.8
I-4	C=O	H	2,4-di-Cl-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	168.4
I-5	C=O	H	2,6-di-F-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	175.6
I-6	C=O	H	4-Ph-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	157.1
I-7	C=O	H	4-CF ₃ -PhCH ₂ -	(i)Pr	CF ₃	H	H	H	139.0
I-8	C=O	H	4-Br-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	166.8
I-9	C=O	Me	4-Ph-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	105.8
I-10	C=O	Me	4-Br-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	147.2
I-11	C=O	H	PhCH(CH ₃)-	(i)Pr	CF ₃	H	H	H	112.3
I-12	C=O	Me	PhCH(CH ₃)-	(i)Pr	CF ₃	H	H	H	123.1
I-13	C=O	Me	2,6-di-F-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	136.3
I-14	C=O	Me	2,4-di-Cl-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	158.7
I-15	C=O	Me	2-Br-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	121.4
I-16	C=O	Me	3-Br-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	96.3
I-17	C=O	Me	2,3,6-tri-F-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	129.2
I-18	C=O	Me	2,4-di-F-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	127.5
I-19	C=O	Me	2,3-di-F-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	125.1
I-20	C=O	Me	3-F-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	97.7
I-21	C=O	Me	4-F-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	74.6
I-22	C=O	Me	PhCH ₂ -	(i)Pr	Cl	H	H	H	oil
I-23	C=O	Me	4-Cl-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
I-24	C=O	Et	PhCH ₂ -	(i)Pr	CF ₃	H	H	H	93.5
I-25	C=O	Me	2-F-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	102.7
I-26	C=O	Me	2-Cl-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	129.6
I-27	C=O	Me	2,6-di-Cl-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	149.4
I-28	C=O	Me	3,4-di-Cl-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	138.6

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TABLE 1 (continued)

Comp. No.	X	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶	R ⁷	Properties (mp:°C)	
5	I-29	C=O	Me	2-F-3-CF ₃ -PhCH ₂ -	(i)Pr	CF ₃	H	H	H	117.4
	I-30	C=O	Et	2,6-di-F-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	121.9
	I-31	C=O	Me	4-CF ₃ -PhCH ₂ -	(i)Pr	CF ₃	H	H	H	104.0
10	I-32	C=O	Me	4-Cl-PhNH-	(i)Pr	CF ₃	H	H	H	177.7
	I-33	C=O	Me	2-Cl-6-F-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	119.8
	I-34	C=O	Me	2-F-6-CF ₃ -PhCH ₂ -	(i)Pr	CF ₃	H	H	H	139.2
	I-35	C=O	Me	2-MeO-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	81.5
15	I-36	C=O	Me	2-Me-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	102.1
	I-37	C=O	Me	4-MeS-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-38	C=O	Me	4-MeO-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	114.5
20	I-39	C=O	Me	2-NO ₂ -PhCH ₂ -	(i)Pr	CF ₃	H	H	H	155.9
	I-40	C=O	Me	3-CF ₃ -PhCH ₂ -	(i)Pr	CF ₃	H	H	H	83.2
	I-41	C=O	Me	2-CF ₃ -PhCH ₂ -	(i)Pr	CF ₃	H	H	H	127.9
	I-42	C=O	H	Et	1-naphthyl	CF ₃	H	H	H	217-218
25	I-43	C=O	Me	Et	1-naphthyl	CF ₃	H	H	H	136-137
	I-44	C=O	Et	Et	1-naphthyl	CF ₃	H	H	H	50-51
	I-45	C=O	H	MeO-	1-naphthyl	CF ₃	H	H	H	63-64
30	I-46	C=O	Me	MeO-	1-naphthyl	CF ₃	H	H	H	oil
	I-47	C=O	Me	PhCH ₂ -	1-naphthyl	CF ₃	H	H	H	43-45
	I-48	C=O	Me	2-thienyl-CH ₂ -	1-naphthyl	CF ₃	H	H	H	109-110
	I-49	C=O	H	(n)Pr	1-naphthyl	CF ₃	H	H	H	212-213
35	I-50	C=O	Me	(n)Pr	1-naphthyl	CF ₃	H	H	H	oil
	I-51	C=O	H	(i)BuO-	1-naphthyl	CF ₃	H	H	H	42-43
	I-52	C=O	Me	(i)BuO-	1-naphthyl	CF ₃	H	H	H	oil
40	I-53	C=O	H	EtO-	1-naphthyl	CF ₃	H	H	H	133-134
	I-54	C=O	Me	EtO-	1-naphthyl	CF ₃	H	H	H	93-94
	I-55	C=S	Me	PhCH ₂ -	(i)Pr	CF ₃	H	H	H	
45	I-56	C=O	Me	1-naphthyl-CH ₂ -	(i)Pr	CF ₃	H	H	H	148.1
	I-57	C=O	Et	1-naphthyl-CH ₂ -	(i)Pr	CF ₃	H	H	H	130.0
	I-58	C=O	Me	2-naphthyl-CH ₂ -	(i)Pr	CF ₃	H	H	H	86.1
	I-59	C=O	Me	2-naphthyl-CH ₂ -	(i)Pr	Cl	H	H	H	sticky
50	I-60	C=O	Me	3,4-di-F-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	123.3
	I-61	C=O	Me	3-CF ₃ -4-F-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	94.4
	I-62	C=O	Me	3-F-4-CF ₃ -PhCH ₂ -	(i)Pr	CF ₃	H	H	H	130.5
	I-63	C=O	Me	1-naphthyl-	(i)Pr	CF ₃	H	H	H	135.9
55	I-64	C=O	Me	4-Cl-Ph-NH-	(i)Pr	CF ₃	H	H	H	177.7
	I-65	C=O	Me	3-F-PhCH ₂ -	(i)Pr	Cl	H	H	H	oil

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TABLE 1 (continued)

Comp. No.	X	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶	R ⁷	Properties (mp:°C)	
5	I-66	C=O	Me	2-F-PhCH ₂ -	(i)Pr	Cl	H	H	H	oil
	I-67	C=O	Me	4-F-PhCH ₂ -	(i)Pr	Cl	H	H	H	oil
	I-68	C=O	Me	3-CF ₃ -PhCH ₂ -	(i)Pr	Cl	H	H	H	oil
10	I-69	C=O	Me	4-CF ₃ -PhCH ₂ -	(i)Pr	Cl	H	H	H	oil
	I-70	C=O	Me	2,6-di-F-PhCH ₂ -	(i)Pr	Cl	H	H	H	137.8
	I-71	C=O	Me	2,4-di-F-PhCH ₂ -	(i)Pr	Cl	H	H	H	oil
	I-72	C=O	Me	2,3-di-F-PhCH ₂ -	(i)Pr	Cl	H	H	H	oil
15	I-73	C=O	Me	3,4-di-F-PhCH ₂ -	(i)Pr	Cl	H	H	H	oil
	I-74	C=O	Me	2-F-3-CF ₃ -PhCH ₂ -	(i)Pr	Cl	H	H	H	oil
	I-75	C=O	Et	3-CF ₃ -PhCH ₂ -	(i)Pr	CF ₃	H	H	H	135.7
20	I-76	C=O	Et	2-F-3-CF ₃ -PhCH ₂ -	(i)Pr	CF ₃	H	H	H	107.2
	I-77	C=O	Et	2,3-di-F-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	170.2
	I-78	C=O	Et	2-F-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	53.1
	I-79	C=O	Et	4-CF ₃ -PhCH ₂ -	(i)Pr	CF ₃	H	H	H	70.5
25	I-80	C=O	Me	3,4-di-Cl-PhCH ₂ -	(i)Pr	Cl	H	H	H	oil
	I-81	C=O	Me	2-Cl-PhCH ₂ -	(i)Pr	Cl	H	H	H	136.9
	I-82	C=O	Me	4-Cl-PhCH ₂ -	(i)Pr	Cl	H	H	H	oil
30	I-83	C=O	Me	2-Me-PhCH ₂ -	(i)Pr	Cl	H	H	H	125.3
	I-84	C=O	Me	Ph-O-CH ₂ -	(i)Pr	Cl	H	H	H	81.1
	I-85	C=O	Me	2,5-di-F-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	104.6
	I-86	C=O	Me	PhCH ₂ -	(i)Pr	SMe	H	H	H	oil
35	I-87	C=O	Me	3-Me-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-88	C=O	Me	3-Me-PhCH ₂ -	(i)Pr	Cl	H	H	H	oil
	I-89	C=O	Me	2,3,6-tri-F-PhCH ₂ -	(i)Pr	Cl	H	H	H	117.1
40	I-90	C=O	Me	4-MeCO ₂ -PhCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-91	C=O	Me	3,5-di-Cl-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	154.0
	I-92	C=O	Me	PhCH ₂ -	(i)Pr	H	H	H	Cl	oil
	I-93	C=O	Me	PhCH ₂ -	(i)Pr	H	H	H	H	oil
45	I-94	C=O	Me	4-Me-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-95	C=O	Me	4-Et-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-96	C=O	Me	2-F-5-CF ₃ -PhCH ₂ -	(i)Pr	CF ₃	H	H	H	110.4
50	I-97	C=O	Me	3-F-5-CF ₃ -PhCH ₂ -	(i)Pr	CF ₃	H	H	H	142.2
	I-98	C=O	Et	2,5-di-F-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	128.2
	I-99	C=O	Et	4-F-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	88.4
	I-100	C=O	Et	4-Cl-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	105.9
55	I-101	C=O	Et	4-Me-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-102	C=O	Et	PhCH ₂ -	(i)Pr	Cl	H	H	H	oil

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TABLE 1 (continued)

Comp. No.	X	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶	R ⁷	Properties (mp:°C)	
5	I-103	C=O	Me	4-(i)Pr-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-104	C=O	Et	4-(i)Pr-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	92.7
	I-105	C=O	Me	2-F-4-CF ₃ -PhCH ₂ -	(i)Pr	CF ₃	H	H	H	151.3
10	I-106	C=O	Me	3,5-di-Me-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-107	C=O	Me	2,5-di-Me-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	146.6
	I-108	C=O	Me	2-F-5-Me-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	137.1
	I-109	C=O	Me	2-F-4-Me-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
15	I-110	C=O	Me	PhCH ₂ -	(i)Pr	Br	H	H	H	oil
	I-111	C=O	Me	PhCH ₂ -O-	(i)Pr	CF ₃	H	H	H	oil
	I-112	C=S	Me	Me-NH-	(i)Pr	CF ₃	H	H	H	148.8
20	I-113	C=O	Me	PhCH ₂ -S-	(i)Pr	CF ₃	H	H	H	oil
	I-114	C=O	Me	4-CN-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	108.3
	I-115	C=O	H	Ph	(i)Pr	CF ₃	H	H	H	124.8
	I-116	C=O	Me	2-Me-4-F-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	114.3
25	I-117	SO ₂	Me	Ph	(i)Pr	CF ₃	H	H	H	oil
	I-118	C=O	Me	cyclopropyl	Ph	CF ₃	H	H	H	
	I-119	C=O	Me	Ph-O-CH(CH ₃)-	(i)Pr	CF ₃	H	H	H	amorphous
30	I-120	C=O	Me	2-tetrahydrofuryl	(i)Pr	CF ₃	H	H	H	69-70
	I-121	C=O	H	MeNH-	Ph	CF ₃	H	H	H	211-212
	I-122	C=O	Me	4-MeO-N=CH-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-123	C=O	Me	PhCH ₂ -	(i)Pr	H	H	CF ₃	H	oil
35	I-124	SO ₂	Me	4-F-Ph	(i)Pr	CF ₃	H	H	H	oil
	I-125	SO ₂	Me	4-Cl-Ph	(i)Pr	CF ₃	H	H	H	oil
	I-126	SO ₂	Me	2-Cl-Ph	(i)Pr	CF ₃	H	H	H	56.7
40	I-127	SO ₂	Me	2,4-di-F-Ph	(i)Pr	CF ₃	H	H	H	131.2
	I-128	C=O	Me	4-CHF ₂ O-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-129	C=O	Me	3-F-4-Br-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	130.1
	I-130	SO ₂	Me	4-Me-Ph	(i)Pr	CF ₃	H	H	H	amorphous
45	I-131	C=O	Me	4-Me-Ph-O-CH(CH ₃)-	(i)Pr	CF ₃	H	H	H	85.3
	I-132	C=O	Me	4-Br-Ph-O-CH(CH ₃)-	(i)Pr	CF ₃	H	H	H	amorphous
	I-133	C=O	Me	4-F-Ph-O-CH(CH ₃)-	(i)Pr	CF ₃	H	H	H	amorphous
50	I-134	SO ₂	Me	PhCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-135	SO ₂	Me	Ph-CH=CH-	(i)Pr	CF ₃	H	H	H	oil
	I-136	SO ₂	Me	4-Br-Ph	(i)Pr	CF ₃	H	H	H	amorphous
	I-137	C=O	Me	2-F-4-Br-PhCH ₂ -	(i)Pr	CF ₃	H	H	H	130.0
55	I-138	C=O	Me	2,4-di-F-Ph-O-CH(CH ₃)-	(i)Pr	CF ₃	H	H	H	amorphous

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TABLE 1 (continued)

Comp. No.	X	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶	R ⁷	Properties (mp:°C)	
5	I-139	C=O	Me	4-Cl-Ph-O-CH(CH ₃)-	(i)Pr	CF ₃	H	H	H	oil
	I-140	C=O	Me	Ph-S-CH(CH ₃)-	(i)Pr	CF ₃	H	H	H	oil
	I-141	C=O	Me	4-Cl-Fh-S-CH(CH ₃)-	(i)Pr	CF ₃	H	H	H	oil
10	I-142	SO ₂	Me	4-Cl-Ph-CH=CH-	(i)Pr	CF ₃	H	H	H	oil
	I-143	C=O	Me	Ph-CF ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-144	SO ₂	Me	4-MeO-Ph-	(i)Pr	CF ₃	H	H	H	oil
	I-145	SO ₂	Me	4-(t)Bu-Ph-	(i)Pr	CF ₃	H	H	H	oil
15	I-146	SO ₂	Me	4-CF ₃ -Ph-	(i)Pr	CF ₃	H	H	H	oil
	I-147	C=O	Me	Ph-O-CF ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-148	SO ₂	Et	4-Me-Ph-	(i)Pr	CF ₃	H	H	H	96.7
20	I-149	C=O	Me	3,4-di-Cl-Ph-O-CH (CH ₃)-	(i)Pr	CF ₃	H	H	H	82.1
	I-150	C=O	Me	2-F-4-Cl-Ph-O-CH (CH ₃)-	(i)Pr	CF ₃	H	H	H	91.9
25	I-151	C=O	Me	2-F-4-Br-Ph-O-CH (CH ₃)-	(i)Pr	CF ₃	H	H	H	120.0
	I-152	C=O	Me	3,4-di-Me-Ph-O-CH (CH ₃)-	(i)Pr	CF ₃	H	H	H	118.6
30	I-153	C=O	Me	4-Et-Ph-O-CH(CH ₃)-	(i)Pr	CF ₃	H	H	H	oil
	I-154	C=O	Me	3-F-4-Cl-Ph-O-CH (CH ₃)-	(i)Pr	CF ₃	H	H	H	oil
	I-155	C=O	Me	4-CF ₃ -Ph-O-CH(CH ₃)-	(i)Pr	CF ₃	H	H	H	oil
35	I-156	SO ₂	Me	4-Et-Ph-	(i)Pr	CF ₃	H	H	H	oil
	I-157	SO ₂	Me	3,4-di-Cl-Ph-	(i)Pr	CF ₃	H	H	H	oil
	I-158	C=O	Me	Ph-S-CF ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-159	C=O	Me	4-F-Ph-O-CF ₂ -	(i)Pr	CF ₃	H	H	H	130.7
40	I-160	C=O	Me	4-F-Ph-CF ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-161	C=O	Me	4-Me-Ph-CF ₂ -	(i)Pr	CF ₃	H	H	H	133.5
	I-162	C=O	Me	4-MeO-Ph-CF ₂ -	(i)Pr	CF ₃	H	H	H	oil
45	I-163	C=O	Me	Et	(i)Pr	CF ₃	H	H	H	42
	I-164	C=O	Me	cyclohexyl-CH ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-165	C=O	Me	cyclopentyl-CH ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-166	C=O	Me	Ph-CH=CH-	(i)Pr	CF ₃	H	H	H	oil
50	I-167	C=O	H	Ph-CH=CH-	(i)Pr	CF ₃	H	H	H	203-204
	I-168	C=O	Me	Cl-CH ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-169	C=O	Me	Ph-CH ₂ CH ₂ -	(i)Pr	CF ₃	H	H	H	oil
55	I-170	C=O	Me	3-thienyl-CH ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-171	C=O	Me	4-Cl-Ph-CH=CH-	(i)Pr	CF ₃	H	H	H	oil
	I-172	C=O	Me	4-F-Ph-CH=CH-	(i)Pr	CF ₃	H	H	H	oil

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TABLE 1 (continued)

Comp. No.	X	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶	R ⁷	Properties (mp:°C)	
5	I-173	C=O	Me	2-thienyl-CH=CH-	(i)Pr	CF ₃	H	H	H	127-128
	I-174	C=O	Me	Ph(Me)C=CH-	(i)Pr	CF ₃	H	H	H	oil
	I-175	C=O	Me	cyclohexyl-CH=CH-	(i)Pr	CF ₃	H	H	H	oil
10	I-176	C=O	Me	cyclopentylidenemethyl	(i)Pr	CF ₃	H	H	H	oil
	I-177	C=O	Me	cyclohexylidenemethyl	(i)Pr	CF ₃	H	H	H	oil
	I-178	C=O	Me	4-Br-Ph-CH=CH-	(i)Pr	CF ₃	H	H	H	oil
	I-179	C=O	Me	3-Br-Ph-CH=CH-	(i)Pr	CF ₃	H	H	H	oil
15	I-180	C=O	Me	Me-CH=CH-	(i)Pr	CF ₃	H	H	H	oil
	I-181	C=O	Me	4-Me-Ph-CH=CH-	(i)Pr	CF ₃	H	H	H	oil
	I-182	C=O	Me	4-MeO-Ph-CH=CH-	(i)Pr	CF ₃	H	H	H	oil
20	I-183	C=O	Me	2-cyclopentenyl-CH ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-184	C=O	Me	3-pyridyl-CH=CH-	(i)Pr	CF ₃	H	H	H	107-108
	I-185	C=O	Me	Ph-C=C-	(i)Pr	CF ₃	H	H	H	oil
	I-186	C=O	Me	4-CF ₃ -Ph-CH=CH-	(i)Pr	CF ₃	H	H	H	oil
25	I-187	C=O	Me	1-naphthyl-CH=CH-	(i)Pr	CF ₃	H	H	H	144-145
	I-188	C=O	Me	2-naphthyl-CH=CH-	(i)Pr	CF ₃	H	H	H	139-140
	I-189	C=O	Me	(n)Pr	(i)Pr	CF ₃	H	H	H	oil
30	I-190	C=O	Me	CH ₂ =CH-	(i)Pr	CF ₃	H	H	H	oil
	I-191	C=O	Me	indan-2-yl-CH ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-192	C=O	Me	benzothiophen-3-yl-CH ₂ -	(i)Pr	CF ₃	H	H	H	117-118
35	I-193	C=O	Me	(i)Bu	(i)Pr	CF ₃	H	H	H	49-50
	I-194	C=O	Me	(CH ₃) ₃ CCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-195	C=O	Me	MeO-CH ₂ -	(i)Pr	CF ₃	H	H	H	oil
40	I-196	C=O	Me	(CH ₃) ₃ CCH(Br)-	(i)Pr	CF ₃	H	H	H	138-142
	I-197	C=O	Me	(CH ₃) ₂ CHCH(Br)-	(i)Pr	CF ₃	H	H	H	113-120
	I-198	C=O	Me	(i)Pr	(i)Pr	CF ₃	H	H	H	84
	I-199	C=O	Me	(n)Bu	(i)Pr	CF ₃	H	H	H	oil
45	I-200	C=O	Me	Br(CH ₂) ₃ -	(i)Pr	CF ₃	H	H	H	oil
	I-201	C=O	Me	Br(CH ₂) ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-202	C=O	Me	CH ₃ CH ₂ CH(Br)-	(i)Pr	CF ₃	H	H	H	129-130
50	I-203	C=O	Me	(n)Pr-O-	(i)Pr	CF ₃	H	H	H	oil
	I-204	C=O	Me	cyclopropyl	(i)Pr	CF ₃	H	H	H	88-89
	I-205	C=O	Me	Me ₂ C=CH-	(i)Pr	CF ₃	H	H	H	65-66
	I-206	C=O	Me	Ph-CH=C(Me)-	(i)Pr	CF ₃	H	H	H	oil
55	I-207	C=O	Me	Ph-CH=CF-	(i)Pr	CF ₃	H	H	H	86-87
	I-208	C=O	Me	Me	(i)Pr	CF ₃	H	H	H	oil

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TABLE 1 (continued)

Comp. No.	X	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶	R ⁷	Properties (mp:°C)	
5	I-209	C=O	Me	CF ₃	(i)Pr	CF ₃	H	H	H	80-81
	I-210	C=O	Me	Cl-CH ₂ CH ₂ CH ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-211	C=O	Me	CH ₂ =CHCH ₂ CH ₂ -	(i)Pr	CF ₃	H	H	H	oil
10	I-212	C=O	Me	cyclopentyl	(i)Pr	CF ₃	H	H	H	105-106
	I-213	C=O	Me	CH ₂ =C(Me)-	(i)Pr	CF ₃	H	H	H	oil
	I-214	C=O	Me	CH ₃ (CH ₂) ₂ CH(Me)-	(i)Pr	CF ₃	H	H	H	oil
	I-215	C=O	Me	CH ₃ CH ₂ CH(Me)-	(i)Pr	CF ₃	H	H	H	60-64
15	I-216	C=O	Me	(t)Bu	(i)Pr	CF ₃	H	H	H	104-105
	I-217	C=O	Me	CH ₃ CH(CF ₃)CH ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-218	C=O	Me	(n)Oc	(i)Pr	CF ₃	H	H	H	
20	I-219	C=O	Me	CF ₃ C(Me)C=CH-	(i)Pr	CF ₃	H	H	H	
	I-220	C=O	Me	Et ₂ CH-	(i)Pr	CF ₃	H	H	H	67-68
	I-221	C=O	Me	2,2-di-Cl-1-Me-cyclopropyl	(i)Pr	CF ₃	H	H	H	oil
25	I-222	C=O	Me	2,2-di-Cl-1-Me-cyclopropyl	(i)Pr	CF ₃	H	H	H	99-101
	I-223	C=O	Me	(i) Pr	Ph	CF ₃	H	H	H	oil
	I-224	C=O	Me	(n) Pr	Ph	CF ₃	H	H	H	
30	I-225	C=O	Me	PhCH ₂ -	Ph	CF ₃	H	H	H	
	I-226	C=O	Me	Ph-CH=CH-	Ph	CF ₃	H	H	H	
	I-227	C=O	Me	(i)Pr-O-	Ph	CF ₃	H	H	H	
35	I-228	C=O	Me	(i)Bu	Ph	CF ₃	H	H	H	oil
	I-229	C=O	Me	Ph-O-CH ₂ -	(i)Pr	CF ₃	H	H	H	120
	I-230	C=O	Me	Ph-NH-	(i)Pr	CF ₃	H	H	H	152
	I-231	C=S	Me	Ph-NH-	(i)Pr	CF ₃	H	H	H	oil
40	I-232	C=O	Me	PhN(CH ₃)-	(i)Pr	CF ₃	H	H	H	oil
	I-233	C=O	Me	PhN(CH ₂ CH ₃)-	(i)Pr	CF ₃	H	H	H	oil
	I-234	C=O	Me	2-Me-4-Cl-PhOCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
45	I-235	C=O	Me	2-Cl-PhOCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-236	C=O	Me	2,4-di-Cl-PhOCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
	I-237	C=O	Me	4-NO ₂ -PhOCH ₂ -	(i)Pr	CF ₃	H	H	H	105
	I-238	C=O	Me	3-Me-PhOCH ₂ -	(i)Pr	CF ₃	H	H	H	100
50	I-239	C=O	Me	4-Me-PhOCH ₂ -	(i)Pr	CF ₃	H	H	H	113
	I-240	C=O	Me	2-Me-PhOCH ₂ -	(i)Pr	CF ₃	H	H	H	113
	I-241	C=O	Me	4-F-PhOCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
55	I-242	C=O	Me	3-F-PhOCH ₂ -	(i)Pr	CF ₃	H	H	H	118
	I-243	C=O	Me	2-F-PhOCH ₂ -	(i)Pr	CF ₃	H	H	H	119
	I-244	C=O	Me	4-MeO-PhOCH ₂ -	(i)Pr	CF ₃	H	H	H	oil

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TABLE 1 (continued)

Comp. No.	X	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶	R ⁷	Properties (mp:°C)
I-245	C=O	Me	2,5-di-F-PhOCH ₂ -	(i)Pr	CF ₃	H	H	H	125
I-246	C=O	Me	2,4-di-F-PhOCH ₂ -	(i)Pr	CF ₃	H	H	H	112
I-247	C=O	Me	3-Cl-PhOCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
I-248	C=O	Me	4-Cl-PhOCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
I-249	C=O	Me	4-Et-PhOCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
I-250	C=O	Me	4-(t)Bu-PhOCH ₂ -	(i)Pr	Cl	H	H	H	oil
I-251	C=O	Me	4-MeS-PhOCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
I-252	C=O	Me	2,3,4,5,6-penta-F-PhOCH ₂ -	(i)Pr	CF ₃	H	H	H	121
I-253	C=O	Me	2,4-di-Cl-5-NO ₂ -PhOCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
I-254	C=O	Me	3-CF ₃ -PhOCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
I-255	C=O	Me	4-Br-PhOCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
I-256	C=O	Me	PhSCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
I-257	C=O	Me	PhN((i)Pr)-	(i)Pr	CF ₃	H	H	H	oil
I-258	C=O	Me	2-thienyl-CH ₂ -	(i)Pr	CF ₃	H	H	H	oil
I-259	C=O	Et	PhOCH ₂ -	(i)Pr	CF ₃	H	H	H	oil
I-260	C=O	Me	PhCH ₂ -	(s)Bu	CF ₃	H	H	H	oil
I-261	C=O	Me	PhOCH ₂ -	(s)Bu	CF ₃	H	H	H	104
I-262	C=O	Me	PhCH ₂ -	3-Pe	CF ₃	H	H	H	oil
I-263	C=O	Me	PhOCH ₂ -	3-Pe	CF ₃	H	H	H	oil
I-264	C=O	H	(i)Pr	Ph	CF ₃	H	H	H	171-172
I-265	C=O	H	(i)Bu	Ph	CF ₃	H	H	H	187-188
I-266	C=O	Me	(n)Pe	(i)Pr	CF ₃	H	H	H	oil
I-267	C=O	Me	Me ₂ N-	Ph	CF ₃	H	H	H	oil
I-268	C=O	Me	EtOC(=O)CH ₂ CH ₂ -	(i)Pr	CF ₃	H	H	H	oil
I-269	C=O	Me	(n)Hex	(i)Pr	CF ₃	H	H	H	oil
I-270	SO ₂	Me	CF ₃ CH ₂ -	(i)Pr	CF ₃	H	H	H	99.5

[0114] Also, NMR spectrum data of the pyridine compounds are described in Table 2.

TABLE 2

Comp. No.	¹ H-NMR δppm (Solvent : CDCl ₃ /400MHz)
I-22	0.87(3H,d), 0.97(3H,d), 2.47-2.56(1H,m), 2.72(3H,s), 3.68(2H,m), 5.66(1H,d), 7.19-7.31(5H,m), 7.38(1H,d), 8.39(1H,d), 8.62(1H,s)
I-23	0.77(3H,d), 0.97(3H,d), 2.56-2.65(1H,m), 2.82(3H,s), 3.62(2H,m), 5.73(1H,d), 7.12-7.82 (4H,m), 7.58(1H,d), 8.70(1H,d), 8.98(1H,s)
I-37	0.78(3H,d), 0.96(3H,d), 2.41(3H,s), 2.56-2.65(1H,m),

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TABLE 2 (continued)

Comp. No.	¹ H-NMR δppm (Solvent : CDCl ₃ /400MHz)
	2.82(3H,s), 3.61(2H,m), 5.75(1H,d), 7.11-7.22 (4H,m), 7.58(1H,d), 8.69(1H,d), 8.97(1H,s)
I-46	2.71(3H,s), 2.75(3H,s), 3.72(3H,s), 3.79(3H,s), 6.86(1H,d), 6.97(1H,d), 7.33-7.91(8H,m,8H,m), 8.59(1H,s), 8.72(1H,s), 8.77-8.79(1H,d,1H,d), rotational isomer mixture
I-50	0.99(3H,t), 1.71-1.77(2H,m), 2.42(2H,t), 2.79(3H,s), 6.80(1H,d), 7.32-7.91(8H,m), 8.66(1H,s), 8.77(1H,d)
I-52	0.69-0.75(3H,d,3H,d), 0.93-0.95(3H,d,3H,d), 1.78-1.99 (1H,m,1H,m), 2.73(3H,s), 2.74(3H,s), 3.90-3.99 (2H,m,2H,m), 6.86(1H,d), 6.93(1H,d), 7.33-7.91 (8H,m,8H,m), 8.66-8.78(1H,s,1H,s,1H,bs,1H,bs), diastereomer mixture
I-59	0.85(3H,d), 0.98(3H,d), 2.43-2.54(1H,m), 2.71(3H,s), 3.84(2H,m), 5.73(1H,d), 7.31-7.79(8H,m), 8.37(1H,d), 8.60(1H,s)
I-65	0.88(3H,d), 0.97(3H,d), 2.47-2.57(1H,m), 2.72(3H,s), 3.65(2H,m), 5.68(1H,d), 6.88-7.27(4H,m), 7.34(1H,d), 8.39(1H,d), 8.61(1H,s)
I-66	0.87(3H,d), 0.95(3H,d), 2.51-2.57(1H,m), 2.77(3H,s), 3.70(2H,m), 5.66(1H,d), 6.98-7.34(4H,m), 7.39(1H,d), 8.39(1H,d), 8.63(1H,s)
I-67	0.83(3H,d), 0.96(3H,d), 2.47-2.66(1H,m), 2.83(3H,s), 3.64(2H,m), 5.67(1H,d), 6.93-7.27(4H,m), 7.33(1H,d), 8.39(1H,d), 8.61(1H,s)
I-68	0.85(3H,d), 0.98(3H,d), 2.48-2.57(1H,m), 2.72(3H,s), 3.70(2H,m), 5.70(1H,d), 7.29-7.52(5H,m), 8.39(1H,d), 8.61(1H,s)
I-69	0.86(3H,d), 0.98(3H,d), 2.48-2.57(1H,m), 2.73(3H,s), 3.73(2H,m), 5.68(1H,d), 7.07-7.66(5H,m), 8.40(1H,d), 8.62(1H,s)
I-71	0.89(3H,d), 0.99(3H,d), 2.50-2.64(1H,m), 2.79(3H,s), 3.65(2H,m), 5.64(1H,d), 6.75-7.26(3H,m), 7.34(1H,d), 8.40(1H,d), 8.64(1H,s)
I-72	0.88(3H,d), 0.99(3H,d), 2.49-2.56(1H,m), 2.79(3H,s), 3.65(2H,m), 5.65(1H,d), 6.95-7.10(3H,m), 7.34(1H,d), 8.40(1H,d), 8.64(1H,s)
I-73	0.86(3H,d), 0.97(3H,d), 2.48-2.58(1H,m), 2.73(3H,s), 3.61(2H,m), 5.66(1H,d), 6.91-7.13(3H,m), 7.34(1H,d), 8.40(1H,d), 8.62(1H,s)
I-74	0.86(3H,d), 1.03(3H,d), 2.51-2.63(1H,m), 2.81(3H,s), 3.68(2H,m), 5.65(1H,d), 7.15-7.50(4H,m), 8.40(1H,d), 8.64(1H,s)
I-80	0.86(3H,d), 0.98(3H,d), 2.48-2.59(1H,m), 2.72(3H,s), 3.60(2H,m), 5.67(1H,d), 7.05-7.40(4H,m), 8.40(1H,d), 8.62(1H,s)

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TABLE 2 (continued)

Comp. No.	¹ H-NMR δppm (Solvent : CDCl ₃ /400MHz)
I-82	0.84(3H,d), 0.96(3H,d), 2.47-2.56(1H,m), 2.71(3H,s), 3.65(2H,m), 5.66(1H,d), 7.14-7.28(4H,m), 7.34(1H,d), 8.39(1H,d), 8.61(1H,s)
I-86	0.85(3H,d), 0.92(3H,d), 2.42-2.50(1H,m), 2.62(3H,s), 3.68(2H,m), 5.55(1H,d), 7.03-7.29(6H,m), 8.32(1H,d), 8.39(1H,s)
I-87	0.77(3H,d), 0.97(3H,d), 2.27(3H,s), 2.55-2.65(1H,m), 2.84(3H,s), 3.62(2H,m), 5.76(1H,d), 6.97-7.15(4H,m), 7.56(1H,d), 8.69(1H,d), 8.96(1H,s)
I-88	0.85(3H,d), 0.97(3H,d), 2.27(1H,s), 2.48-2.54(1H,m), 2.69(3H,s), 3.64(2H,m), 5.69(1H,d), 6.97-7.21(4H,m), 7.33(1H,d), 8.38(1H,d), 8.62(1H,s)
I-90	0.72(3H,d), 0.91(3H,d), 2.49-2.62(1H,m), 2.74(3H,s), 3.66(2H,s), 3.82(3H,s), 5.78(1H,d), 7.23(2H,d), 7.52(1H,d), 7.89(2H,d), 8.64(1H,d), 8.89(1H,s)
I-92	0.83(3H,d), 0.97(3H,d), 2.52-2.61(1H,m), 2.76(3H,s), 3.68(2H,m), 5.31(1H,d), 7.20-7.31(6H,m), 7.83(1H,d), 8.29(1H,m)
I-93	0.85(3H,d), 0.91(3H,d), 2.31-2.43(1H,m), 2.68(3H,s), 3.68(2H,s), 5.52(1H,d), 7.16-7.37(5H,m), 7.65(1H,d), 8.50(1H,bs), 8.60(1H,bs)
I-94	0.77(3H,d), 0.96(3H,d), 2.31(1H,s), 2.55-2.78(1H,m), 2.83(3H,s), 3.64(2H,m), 5.76(1H,d), 7.05-7.17(4H,m), 7.56(1H,d), 8.68(1H,d), 8.96(1H,s)
I-95	0.75(3H,d), 0.95(3H,d), 1.16(3H,t), 2.55-2.61(3H,q), 2.77(3H,s), 3.57-3.66(2H,dd), 5.75(1H,d), 7.09(4H,s), 7.56(1H,d), 8.68(1H,d), 8.95(1H,s)
I-101	0.72(3H,t), 0.73(3H,d), 0.94(3H,d), 2.28(3H,s), 2.70-2.84(1H,m), 3.07-3.16(1H,m), 3.33-3.43(1H,m), 3.57-3.66(2H,m), 5.50(1H,d), 7.07-7.14(4H,dd), 7.56(1H,d), 8.70(1H,d), 9.18(1H,s)
I-103	0.78(3H,d), 0.99(3H,d), 1.21(6H,d) 2.56-2.65(1H,m), 2.79(3H,s), 2.823-2.89(1H,m), 3.62(2H,m), 5.75(1H,d), 7.10-7.21(4H,m), 7.56(1H,d), 8.69(1H,d), 8.97(1H,s)
I-106	0.78(3H,d), 0.98(3H,d), 2.23(6H,s), 2.56-2.65(1H,m), 2.84(3H,s), 3.58(2H,m), 5.76(1H,d), 6.81-6.91(3H,m), 7.60(1H,d), 8.68(1H,d), 8.98(1H,s)
I-109	0.76(3H,d), 0.98(3H,d), 2.27(3H,s), 2.58-2.71(1H,m), 2.83(3H,s), 3.53-3.66(2H,dd), 5.68(1H,d), 6.80-6.86(2H,dd), 7.10(1H,t), 7.59(1H,d), 8.68(1H,d), 8.98(1H,s)
I-110	0.75(3H,d), 1.05(3H,d), 2.59-2.66(1H,m), 2.77(3H,s), 5.02-5.28(3H,m), 7.25-7.46(5H,m), 7.56(1H,d), 8.70(1H,d), 8.90(1H,d)
I-113	0.80(3H,d), 0.96(3H,d), 2.61-2.71(1H,m), 2.80(3H,s), 4.16(2H,m), 5.62(1H,d), 7.18-7.36 (5H,m), 7.57(1H,d),

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TABLE 2 (continued)

Comp. No.	¹ H-NMR δppm (Solvent : CDCl ₃ /400MHz)
	8.71(1H,d), 8.98(1H,s)
5 I-117	0.76(3H,d), 0.87(3H,d), 1.00(3H,d), 1.29(3H,d), 2.02-2.16(1H,m), 2.57-2.71(1H,m), 3.03(3H,s), 3.44(3H,s), 5.27(1H,d), 7.45-7.70(5H,m), 8.02(1H,d), 8.82(1H,d), 8.92(1H,s), 9.03(1H,s), rotational isomer mixture
10 I-119	0.71-0.76(3H,dd), 0.84-1.00(3H,dd), 1.49-1.54(3H,dd), 2.54-2.67(1H,m), 2.88(3H,s), 2.91(3H,s), 4.92-4.98(1H,q), 5.59-5.61(1H,d), 5.75-5.77(1H,d), 6.76-7.20(5H,m), 7.56(1H,d), 7.61(1H,d), 8.68(1H,d), 8.71(1H,d), 8.92(1H,s), 9.00(1H,s), diastereomer mixture
15 I-123	0.86(3H,d), 0.92(3H,d), 2.35-2.44(1H,m), 2.70(3H,s), 3.68(2H,s), 5.55(1H,d), 7.15-7.63(5H,m), 7.62(1H,d), 7.85(1H,d), 8.69(1H,s)
20 I-124	0.70(3H,d), 1.15(3H,d), 2.47-2.53(1H,m), 2.96(3H,s), 5.10(1H,d), 6.90-7.54(5H,m), 8.67(1H,d), 8.83(1H,s)
25 I-125	0.70(3H,d), 1.15(3H,d), 1.56(3H,s), 2.45-2.53(1H,m), 5.10(1H,d), 6.90-7.46 (4H,m), 7.52(1H,d), 8.75(1H,d), 8.88(1H,s)
30 I-128	0.81(3H,d), 0.98(3H,d), 2.58-2.71(1H,m), 2.85(3H,s), 3.66(2H,s), 5.76(1H,d), 6.49(1H,t), 7.07-7.22(4H,dd), 7.66(1H,d), 8.81(1H,d), 9.03(1H,s)
35 I-130	0.69(3H,d), 1.13(3H,d), 1.92-2.02(1H,m), 2.32(3H,s), 2.93(3H,s), 5.13(1H,d), 7.11(2H,d), 7.42(2H,d), 7.76(1H,d), 8.66(1H,d), 8.90(1H,s)
40 I-132	0.76(3H,3H,m), 0.86(3H,d), 1.10(3H,d), 1.53(3H,3H,m), 2.60-2.64(1H,1H,m), 2.87(3H,s), 2.90(3H,s), 4.86-4.92(1H,1H,m), 5.63(1H,d), 5.77(1H,d), 6.66-6.74(2H,2H,d), 7.25-7.29(2H,2H,d), 7.55-7.60(1H,1H,d), 8.68-8.73(1H,1H,d), 8.91-8.98(1H,1H,s), diastereomer mixture
45 I-133	0.76(3H,3H,m), 0.84(3H,d), 0.99(3H,d), 1.51(3H,3H,m), 2.56-2.66(1H,1H,m), 2.89(3H,s) 2.91(3H,s), 4.86-4.91(1H,1H,m), 5.64(1H,d), 5.77(1H,d), 6.72-6.81(4H,4H,m), 7.55-7.60(1H,1H,d), 8.67-8.73(1H,1H,d), 8.92-8.99(1H,1H,s), diastereomer mixture
50 I-134	0.74(3H,d), 1.08(3H,d), 1.95-2.01(1H,m), 2.20(3H,s) 3.70(1H,d), 4.32(2H,s), 7.36-7.38(5H,m), 7.40(1H,d), 8.64(1H,d), 8.97(1H,s)
55 I-135	0.72(3H,d), 1.25(3H,d), 2.51-2.57(1H,m), 2.79(3H,s), 4.07-4.13(1H,m), 5.17(1H,d), 6.31(1H,d), 7.20-7.37 (5H,m), 7.61(1H,d), 8.71(1H,d), 8.92(1H,s)
I-136	0.61(3H,d), 1.54(3H,d), 2.45-2.53(1H,m), 2.98(3H,s), 5.11(1H,d), 7.37-7.48 (4H,m), 7.49(1H,d),8.69(1H,d), 8.89(1H,s)
I-138	0.77(3H,3H,m), 0.87(3H,d), 0.94(3H,d), 1.60(3H,3H,m), 2.60-2.63(1H,1H,m), 2.88(3H,s), 2.91(3H,s), 4.92-4.97

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TABLE 2 (continued)

Comp. No.	¹ H-NMR δppm (Solvent : CDCl ₃ /400MHz)
5	(1H,1H,m), 5.67(1H,d), 5.77(1H,d), 6.63-6.94(4H,4H,m), 7.55-7.58(1H,1H,d), 8.69-8.72(1H,1H,d), 8.93-8.98(1H,1H,s), diastereomer mixture
10	I-139 0.75(3H,3H,m), 0.85(3H,d), 0.99(3H,d), 1.53(3H,3H,m), 2.60-2.66(1H,1H,m), 2.88(3H,s) 2.90(3H,s), 4.86-4.92 (1H,1H,m), 5.64(1H,d), 5.78(1H,d), 6.70-6.79(2H,2H,m), 7.09-7.15(2H,2H,m), 7.55-7.59(1H,1H,d), 8.68-8.73 (1H,1H,d), 8.91-8.98(1H,1H,s), diastereomer mixture
15	I-140 0.77(3H,3H,m), 1.00(3H,d), 1.10(3H,d), 1.42(3H,3H,m), 2.64-2.71(1H,1H,m), 2.91(3H,s), 2.95(3H,s), 3.92-4.12 (1H,1H,m), 5.57(1H,d), 5.69(1H,d), 7.17-7.61(5H,5H,m), 7.62-7.66(1H,1H,d), 8.72-8.73(1H,1H,d), 9.01-9.04(1H,1H,s), diastereomer mixture
20	I-141 0.77(3H,3H,m), 0.99(3H,d), 1.05(3H,d), 1.40(3H,3H,m), 2.64-2.70(1H,1H,m), 2.92(3H,s), 2.94(3H,s), 3.90-4.12 (1H,1H,m), 5.59(1H,d), 5.64(1H,d), 7.13-7.33(4H,4H,m), 7.61-7.64(1H,1H,d), 8.73(1H,1H,bd), 9.04(1H,1H,bs), diastereomer mixture
25	I-142 0.73(3H,d), 1.26(3H,d), 2.50-2.62(1H,m), 2.84(3H,s), 4.12(1H,dd), 5.17(1H,d), 6.31(1H,d), 7.25(4H,dd), 7.29(1H,d), 8.74(1H,d), 8.95(1H,s)
30	I-143 0.83(3H,d), 1.04(3H,d), 2.62-2.70(1H,m), 2.75(3H,s), 5.80(1H,d), 7.40-7.52(5H,m), 7.63(1H,d), 8.74(1H,d), 8.95(1H,s)
35	I-144 0.71(3H,d), 1.15(3H,d), 2.44-2.50(1H,m), 2.93(3H,s), 3.80(3H,s), 5.14(1H,d), 6.80(2H,d), 7.48(2H,d), 7.55(1H,d), 8.67(1H,d), 8.88(1H,s)
40	I-145 0.71(3H,d), 1.15(3H,d), 1.61(9H,m), 2.44-2.50(1H,m), 2.96(3H,s), 5.30(1H,d), 7.35(2H,d), 7.49(2H,d), 7.83(1H,d), 8.67(1H,d), 8.80(1H,s)
45	I-146 0.76(3H,d), 1.20(3H,d), 2.44-2.66(1H,m), 3.04(3H,s), 5.15(1H,d), 7.63(4H,d,d), 8.04(1H,d), 8.71(1H,d), 8.92(1H,s)
50	I-147 0.86(3H,d), 1.05(3H,d), 2.69-2.78(1H,m), 3.05(3H,s), 5.74(1H,d), 7.18-7.36(5H,m), 7.63(1H,d), 8.76(1H,d), 9.02(1H,s)
55	I-153 0.74(3H,3H,m), 0.83(3H,d), 1.01(3H,d), 1.14(3H,3H,m), 1.50(3H,3H,m), 2.48-2.51(2H,2H,m), 2.59-2.64(1H,1H,m), 2.89(3H,s) 2.91(3H,s), 4.88-4.93(1H,1H,m), 5.62(1H,d), 5.77(1H,d), 6.70-6.78(2H,2H,m), 6.97-7.03(2H,2H,m), 7.54-7.60(1H,1H,d), 8.66-8.72(1H,1H, d), 8.92-8.99(1H,1H,bs), diastereomer mixture
	I-154 0.76(3H,3H,m), 0.90(3H,d), 1.00(3H,d), 1.52(3H,3H,m), 2.64-2.66(1H,1H,m), 2.89(3H,s), 2.91(3H,s), 4.83-4.91 (1H,1H,m), 5.59(1H,d), 5.74(1H,d), 6.52-7.20(3H,3H,m), 7.60-7.65(1H,1H,d), 8.71(1H,1H,d), 9.00(1H,1H,s), diastereomer mixture

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TABLE 2 (continued)

Comp. No.	¹ H-NMR δppm (Solvent : CDCl ₃ /400MHz)
I-155	0.75(3H,3H,m), 0.88(3H,d), 1.00(3H,d), 1.55(3H,3H,m), 2.62-2.66(1H,1H,m), 2.90(3H,s), 2.93(3H,s), 4.95-5.02 (1H,1H,m), 5.53(1H,d), 5.74(1H,d), 6.83-7.45(4H,4H,m), 7.57-7.64(1H,1H,d), 8.67-8.74(1H,1H,d), 8.92-9.04(1H,1H,s), diastereomer mixture
I-156	0.68(3H,d), 1.12(3H,d), 2.36-2.56(1H,m), 2.56(2H,q), 2.92(3H,s), 5.16(1H,d), 7.26(2H,d), 7.44(2H,d), 7.56(1H,d), 8.66(1H,d), 8.87(1H,s)
I-157	0.74(3H,d), 1.18(3H,d), 2.44-2.68(1H,m), 3.00(3H,s), 5.12(1H,d), 7.40(2H,d), 7.52(1H,s), 7.66(1H,d), 8.74(1H,d), 8.90(1H,s)
I-158	0.84(3H,d), 1.08(3H,d), 2.64-2.80(1H,m), 2.98(3H,s), 5.68(1H,d), 7.36-7.40(3H,m), 7.60(2H,d), 7.64(1H,d), 8.76(1H,d), 8.97(1H,s)
I-160	0.83(3H,d), 1.03(3H,d), 2.65-2.71(1H,m), 2.79(3H,s), 5.79(1H,d), 7.12(2H,d), 7.49(2H,d), 7.49(2H,d), 7.62(1H,d), 8.75(1H,d), 8.95(1H,s)
I-162	0.84(3H,d), 1.05(3H,d), 2.65-2.67(1H,m), 2.75(3H,s), 5.81(1H,d), 6.93(2H,d), 7.45(2H,d), 7.63(1H,d), 8.74(1H,d), 8.95(1H,s)
I-164	0.81(3H,d), 1.02(3H,d), 0.84-1.86(11H,m), 2.04-2.21(2H,m), 2.60-2.66(1H,m), 2.79(3H,s), 5.84(1H,d), 7.58(1H,d), 8.71 (1H,d), 8.99(1H,s)
I-165	0.81(3H,d), 1.02(3H,d), 1.04-2.37(11H,m), 2.58-2.68(1H,m), 2.80(3H,s), 5.84(1H,d), 7.58(1H,d), 8.71(1H,d), 8.99(1H,s)
I-166	0.87(3H,d), 1.097(3H,d), 2.69-2.75(1H,m), 3.00(3H,s), 5.87 (1H,d), 6.80(1H,d), 7.31-7.745(7H,m), 8.75(1H,d), 9.06(1H,s)
I-168	0.83(3H,d), 1.07(3H,d), 2.6-2.73(1H,m), 2.91(3H,s), 4.03 (2H,s), 5.69(1H,d), 7.61(1H,d), 8.75(1H,d), 9.02(1H,s)
I-169	0.82(3H,d), 1.00(3H,d), 2.49-2.66(3H,m), 2.77(3H,s), 2.88-3.04(2H,m), 5.79(1H,d), 7.15-7.30(5H,m), 7.59(1H,d), 8.72(1H,bs), 9.00(1H,s)
I-170	0.80(3H,d), 0.99(3H,d), 2.59-2.65(1H,m), 2.82(3H,s), 3.69(2H,s), 5.79(1H,d), 6.98(1H,dd), 7.04(1H,bs), 7.24-7.27 (1H,m), 7.59(1H,d), 8.72(1H,d), 8.98(1H,s)
I-171	0.87(3H,d), 1.09(3H,d), 2.69-2.75(1H,m), 2.99(3H,s), 5.85 (1H,d), 6.77(1H,d), 7.31-7.72(6H,m), 8.74(1H,d), 9.06(1H,s)
I-172	0.87(3H,d), 1.09(3H,d), 2.65-2.81(1H,m), 2.99(3H,s), 5.86(1H,d), 6.72(1H,d), 7.42-7.74(6H,m), 8.74(1H,d), 9.06(1H,s)
I-174	0.86(3H,d), 1.12(3H,d), 2.26(3H,s), 2.69-2.72(1H,m), 2.89(3H,s), 5.91(1H,d), 6.21(1H,s), 7.20-7.43(5H,m), 7.63(1H,d), 8.74(1H,bs), 9.04(1H,s)
I-175	0.86(3H,d), 1.05(3H,d), 1.08-2.18(11H,m), 2.66-2.72(1H,m), 2.90(3H,s), 5.75(1H,d), 6.08(1H,d), 6.86(1H,dd), 7.60(1H,d),

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TABLE 2 (continued)

Comp. No.	¹ H-NMR δppm (Solvent : CDCl ₃ /400MHz)	
	8.71(1H,d), 9.05(1H,s)	
5	I-176	0.80(3H,d), 1.04(3H,d), 1.82-2.33(6H,m), 2.53-2.68(1H,m), 2.84(3H,s), 3.13(2H,m), 5.41(1H,bs), 5.76(1H,d), 7.60(1H,d), 8.72(1H,d), 9.01(1H,s)
10	I-177	0.82(3H,d), 1.06(3H,d), 1.55-2.38(10H,m), 2.59-2.72(1H,m), 2.83(3H,s), 5.65(1H,s), 5.85(1H,d), 7.60(1H,d), 8.72(1H,d), 9.01(1H,s)
	I-178	0.87(3H,d), 1.09(3H,d), 2.69-2.77(1H,m), 2.99(3H,s), 5.87(1H,d), 6.79(1H,d), 7.35-7.66(6H,m), 8.74(1H,d), 9.06(1H,s)
15	I-179	0.87(3H,d), 1.09(3H,d), 2.69-2.75(1H,m), 2.99(3H,s), 5.86(1H,d), 6.78(1H,d), 7.21-7.69(6H,m), 8.74(1H,d), 9.06(1H,s)
20	I-180	0.84(3H,d), 1.05(3H,d), 1.86(3H,d), 2.61-2.74(1H,m), 2.88(3H,s), 5.79(1H,d), 6.18(1H,d), 6.89-6.94(1H,m), 7.59(1H,d), 8.72(1H,d), 9.02(1H,s)
25	I-181	0.86(3H,d), 1.09(3H,d), 2.35(3H,s), 2.71-2.75(1H,m), 2.99(3H,s), 5.86(1H,d), 6.75(1H,d), 7.15(2H,d), 7.40(2H,d), 7.60(1H,d), 7.69(1H,d), 8.73(1H,d), 9.06(1H,s)
	I-182	0.86(3H,d), 1.09(3H,d), 2.69-2.75(1H,m), 2.99(3H,s), 3.82(3H,s), 5.87(1H,d), 6.68(1H,d), 6.87(2H,d), 7.405(2H,d), 7.60(1H,d), 7.70(1H,d), 8.73(1H,d), 9.06(1H,s)
30	I-183	0.82(3H,d), 1.03(3H,d), 1.35-1.49(1H,m), 2.11-2.44(5H,m), 2.55-2.73(1H,m), 2.79(3H,s), 3.17-3.20(1H,m), 5.68-5.73(2H,m), 5.86(1H,d), 7.60(1H,d), 8.72(1H,d), 9.00(1H,s)
35	I-185	0.84-0.87(3H,d,3H,d), 1.11(3H,d), 1.19(3H,d), 2.68-2.78(1H,m,1H,m), 2.87(3H,s), 3.14(3H,s), 5.75-5.80(1H,d,1H,d), 7.33-7.65(6H,m,6H,m), 8.75(1H,d), 8.79(1H,d), 9.00(1H,s), 9.05(1H,s), isomer mixture
40	I-186	0.88(3H,d), 1.10(3H,d), 2.70-2.76(1H,m), 3.01(3H,s), 5.88(1H,d), 6.88(1H,d), 7.58-7.79(6H,m), 8.75(1H,d), 9.07(1H,s)
45	I-189	0.82(3H,d), 0.93(3H,t), 1.03(3H,d), 1.63-1.69(2H,m), 2.19-2.32(2H,m), 2.62-2.68(1H,m), 2.81(3H,s), 5.82(1H,d), 7.59(1H,d), 8.72(1H,d), 9.01(1H,s)
50	I-190	0.85(3H,d), 1.06(3H,d), 2.65-2.77(1H,m), 3.08(3H,s), 5.69(1H,dd), 5.81(1H,d), 6.32(1H,dd), 6.47-6.54(1H,dd), 7.61(1H,d), 8.74(1H,d), 9.05(1H,s)
	I-191	0.84(3H,d), 1.05(3H,d), 2.37-2.67(5H,m), 2.77(3H,s), 2.95-3.02(1H,m), 3.15-3.22(2H,m), 5.88(1H,d), 7.11-7.18(4H,m), 7.60(1H,d), 8.72(1H,d), 8.995(1H,s)
55	I-194	0.81(3H,d), 1.03(9H,s), 1.04(3H,d), 2.17-2.26(2H,m), 2.55-2.66(1H,m), 2.82(3H,s), 5.9(1H,d), 7.61(1H,d), 8.72(1H,d), 9.00(1H,s)
	I-195	0.83(3H,d), 1.06(3H,d), 2.68-2.72(1H,m), 2.81(3H,s), 3.40(3H,s), 4.07(2H,d), 5.72(1H,d), 7.62(1H,d), 8.74(1H,d),

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TABLE 2 (continued)

Comp. No.	¹ H-NMR δppm (Solvent : CDCl ₃ /400MHz)
	9.03(1H,s)
5 I-199	0.82(3H,d), 0.92(3H,t), 1.03(3H,d), 1.30-1.40(2H,m), 1.56-1.66(2H,m), 2.21-2.38(2H,m), 2.62-2.68(1H,m), 2.81(3H,s), 5.79(1H,d), 7.59(1H,d), 8.72(1H,d), 9.02(1H,s)
10 I-200	0.80-0.85(3H,d,3H,d), 0.92-0.99(3H,t,3H,t), 1.04-1.13(3H,d,3H,d), 1.97-2.26(2H,m,2H,m), 2.62-2.83(1H,m,1H,m), 2.89(3H,s), 2.95(3H,s), 4.15(1H,t), 4.28(1H,t), 5.60(1H,d), 5.92(1H,d), 7.60-7.62(1H,d,1H,d), 8.73-8.76(1H,d,1H,d), 8.98(1H,s), 9.08(1H,s), diastereomer mixture
15 I-201	0.83(3H,d), 1.05(3H,d), 2.84(3H,s), 2.59-2.99(3H,m), 3.57-3.73(2H,m), 5.77(1H,d), 7.60(1H,d), 8.73(1H,d), 9.00(1H,s)
20 I-203	0.78(3H,d), 0.92-1.78(5H,m), 1.07(3H,d), 2.53-2.66(1H,m), 2.76(3H,s), 4.03-4.11(2H,m), 5.25-5.35(1H,m), 7.58(1H,d), 8.71(1H,d), 8.90(1H,bs)
25 I-206	0.87(3H,d), 1.14(3H,d), 2.69-2.79(1H,m), 2.88(3H,s), 5.85(1H,d), 6.39(1H,s), 7.24-7.36(5H,m), 7.63(1H,d), 8.75(1H,d), 9.03(1H,s)
30 I-210	0.83(3H,d), 1.03(3H,d), 2.08-2.18(2H,m), 2.37-2.76(3H,m), 2.82(3H,s), 3.57-3.70(2H,m), 5.82(1H,d), 7.60(1H,d), 8.72(1H,d), 8.99(1H,s)
35 I-211	0.82(3H,d), 1.03(3H,d), 2.31-2.50(4H,m), 2.58-2.70(1H,m), 2.82(3H,s), 4.94-5.09(1H,dd,1H,dd), 5.78-5.91(1H,d,1H,m), 7.590(1H,d), 8.71(1H,d), 9.00(1H,s)
40 I-213	0.85(3H,d), 1.08(3H,d), 1.91(3H,s), 2.65-2.77(1H,m), 2.82(3H,s), 4.91(1H,s), 5.13(1H,s), 5.821(1H,d), 7.61(1H,d), 8.74(1H,d), 9.00(1H,s)
45 I-214	0.80-1.77(16H,m,16H,m), 2.57-2.68(2H,m,2H,m), 2.83(3H,s), 2.85(3H,s), 5.85-5.91(1H,d,1H,d), 7.59(1H,d,1H,d), 8.71(1H,d,1H,d), 8.99(1H, s , 1H,s), diastereomer mixture
50 I-215	0.78-1.83(3H,d,3H,d,3H,t,3H,t,3H,d,3H,d,3H,d,3H,d,2H,m,2H,m), 2.46-2.74(1H,m,1H,m,1H,m,1H,m), 2.84(3H,s), 2.86(3H,s), 5.86-5.94(1H,d,1H,d), 7.58-7.60(1H,d,1H,d), 8.70-8.72(1H,d,1H,d), 9.00(1H,s,1H,s), diastereomer mixture
55 I-217	0.82-0.86(3H,d,3H,d), 1.02-1.04(3H,d,3H,d), 1.11(3H,d), 1.17(3H,d), 2.15-3.05(2H,m,2H,m,1H,m,1H,m,1H,m,1H,m), 2.80(3H,s), 2.82(3H,s), 5.81-5.88(1H,d,1H,d), 7.60-7.62(1H,d,1H,d), 8.73-8.74(1H,d,1H,d), 8.98(1H,s), 9.00(1H,s), diastereomer mixture
I-221	0.79(3H,d), 1.10(3H,d), 1.38(1H,d), 1.56(3H,s), 2.02(1H,d), 2.72-2.84(1H,m), 3.03(3H,s), 5.66(1H,d), 7.60(1H,d), 8.73(1H,d), 9.06(1H,s)
I-222	0.81(3H,d), 1.07(3H,d), 1.34(3H,s), 1.37(1H,d), 2.19(1H,d),

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TABLE 2 (continued)

Comp. No.	¹ H-NMR δppm (Solvent : CDCl ₃ /400MHz)
	2.66-2.77(1H,m), 2.96(3H,s), 5.77(1H,d), 7.66(1H,d), 8.77(1H,d), 9.03(1H,s)
I-223	1.14(3H,d), 1.20(3H,d), 2.91(3H,s), 2.75-2.99(1H,m), 7.01-7.34(6H,m), 7.57(1H,d), 8.56(1H,s), 8.72(1H,d)
I-228	0.96-1.00(3H,d,3H,d), 2.16-2.37(3H,m), 2.86(3H,s), 7.00-7.33(6H,m), 7.57(1H,d), 8.55(1H,s), 8.72(1H,d)
I-231	0.74(3H,d), 1.26(3H,d), 2.72-2.83(1H,m), 3.09(3H,s), 6.10(2H,bs), 7.14-7.38(5H,m), 7.63(1H,d), 8.76(1H,d), 8.99(1H,s)
I-232	0.74(3H,d), 1.10(3H,d), 2.36(3H,s), 2.58-2.61(1H,m), 3.17(3H,s), 5.42(1H,d), 6.71-7.07(5H,m), 7.59(1H,d), 8.68(1H,d), 8.83(1H,s)
I-233	0.74(3H,d), 1.06-1.10(6H,m), 2.30(3H,s), 2.52-2.63(1H,m), 3.55-3.73(2H,m), 5.43(1H,d), 6.66-7.05(5H,m), 7.60(1H,d), 8.68(1H,d), 8.80(1H,s)
I-234	0.80(3H,d), 1.01(3H,d), 2.16(3H,s), 2.64-2.69(1H,m), 2.88(3H,s), 4.63(2H,m), 5.70(1H,d), 6.63(1H,d), 7.01(1H,dd), 7.06(1H,dd), 7.57(1H,d), 8.71(1H,d), 8.99(1H,s)
I-235	0.78(3H,d), 0.98(3H,d), 2.63-2.70(1H,m), 2.93(3H,s), 4.73(2H,s), 5.69(1H,d), 6.85-7.31(4H,m), 7.56(1H,d), 8.70(1H,d), 8.99(1H,s)
I-236	0.79(3H,d), 0.99(3H,d), 2.65-2.68(1H,m), 2.91(3H,s), 4.71(2H,m), 5.67(1H,d), 6.82(1H,d), 7.09(1H,dd), 7.30(1H,d), 7.57(1H,d), 8.71(1H,d), 8.98(1H,s)
I-241	0.79(3H,d), 0.99(3H,d), 2.61-2.71(1H,m), 2.89(3H,s), 4.61(2H,m), 5.68(1H,d), 6.79-6.93(4H,m), 7.55(1H,d), 8.72(1H,d), 8.99(1H,s)
I-244	0.78(3H,d), 1.00(3H,d), 2.63-2.70(1H,m), 2.88(3H,s), 4.59(2H,m), 5.68(1H,d), 6.74-6.83(4H,m), 7.56(1H,d), 8.70(1H,d), 9.00(1H,s)
I-247	0.77(3H,d), 1.00(3H,d), 2.64-2.67(1H,m), 2.85(3H,s), 4.62(2H,m), 5.68(1H,d), 6.75-7.12(4H,m), 7.56(1H,d), 8.70(1H,d), 8.98(1H,s)
I-248	0.77(3H,d), 0.99(3H,d), 2.67(1H,m), 2.86(3H,s), 4.61(2H,m), 5.66(1H,d), 6.79(2H,d), 7.15(2H,d), 7.57(1H,d), 8.71(1H,d), 8.99(1H,s)
I-249	0.78(3H,d), 1.00(3H,d), 1.16(3H,t), 2.53(2H,q), 2.57-2.70(1H,m), 2.88(3H,s), 4.61(2H,m), 5.68(1H,d), 6.78(2H,d), 7.03(2H,d), 7.57(1H,d), 8.70(1H,d), 9.00(1H,s)
I-250	0.80(3H,d), 1.02(3H,d), 1.27(9H,s), 2.70(1H,m), 2.91(3H,s), 4.64(2H,m), 5.70(1H,d), 6.82(2H,d), 7.25(2H,d), 7.58(1H,d), 8.72(1H,d), 9.03(1H,s)
I-251	0.84(3H,d), 1.05(3H,d), 2.47(3H,s), 2.68-2.83(1H,m), 2.93(3H,s), 4.67(2H,m), 5.72(1H,d), 6.85(2H,d), 7.21(2H,d), 7.62(1H,d), 8.76(1H,d), 9.05(1H,s)
I-253	0.80(3H,d), 1.03(3H,d), 2.65-2.69(1H,m), 2.87(3H,s),

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TABLE 2 (continued)

Comp. No.	¹ H-NMR δppm (Solvent : CDCl ₃ /400MHz)
	4.76-4.88(2H,m), 5.69(1H,d), 7.33(1H,s), 7.54(1H,s), 7.60(1H,d), 8.74(1H,d), 8.99(1H,s)
I-254	0.80(3H,d), 1.01(3H,d), 2.64-2.70(1H,m), 2.78(3H,s), 4.70(2H,m), 5.72(1H,d), 7.06-7.36(4H,m), 7.58(1H,d), 8.72(1H,d), 8.99(1H,s)
I-255	0.74(3H,d), 0.95(3H,d), 2.60-2.65(1H,m), 2.82(3H,s), 4.57(2H,m), 5.62(1H,d), 6.68-7.26(4H,m), 7.52(1H,d), 8.66(1H,d), 8.94(1H,s)
I-256	0.78(3H,d), 1.01(3H,d), 2.61-2.68(1H,m), 2.88(3H,s), 3.71(2H,m), 5.69(1H,d), 7.15-7.41(5H,m), 7.56(1H,d), 8.71(1H,d), 8.98(1H,s)
I-257	0.71(3H,d), 1.06(6H,d), 1.15(3H,d), 2.23(3H,s), 2.49-2.55 (1H,m), 4.32(2H,m), 5.38(1H,d), 6.64-7.11(5H,m), 7.54(1H,d), 8.63(1H,d), 8.71(1H,s)
I-258	0.80(3H,d), 1.0(3H,d), 2.6-2.7(1H,m), 2.7(3H,s), 3.4(2H,m), 5.7(1H,d), 6.8-7.1(3H,m), 7.5(1H,d), 8.7(1H,d), 8.9(1H,s)
I-259	0.74(3H,d), 0.98-1.10(6H,m), 2.83(1H,m), 3.2-3.5(2H,m), 4.68(2H,m), 5.4(1H,d), 6.8-7.4(5H,m), 7.56(1H,d), 8.73 (1H,d), 8.96(1H,s)
I-260	0.70-1.44(8H,m,8H,m),2.35(1H,m,1H,m),2.76(3H,s),2.78(3H,s), 3.66(2H,m, 2H,m),5.80(1H,d),5.87(1H,d),7.14-7.28(5H,m,5H,m), 7.56(1H,m,1H,m),8.68(1H,m,1H,m),8.93(1H,s),8.95(1H,s), diastereomer mixture
I-262	0.73(3H,t), 0.86(3H,t), 1.07-1.38(4H,m), 2.28(1H,m), 2.75(3H,s), 3.65(2H,m), 6.03(1H,d), 7.17-7.28(5H,m), 7.56(1H,d), 8.68(1H,d), 8.94(1H,s)
I-263	0.74(3H,t), 0.86(3H,t), 1.07-1.43(4H,m), 2.35(1H,m), 2.86(3H,s), 4.64(2H,m), 5.95(1H,d), 6.86(2H,d), 6.92(1H,t), 7.21(2H,t), 7.56(1H,d), 8.70(1H,d), 8.98(1H,s)
I-266	0.82(3H,d), 0.89 (3H,t), 1.03(3H,d), 1.18-1.74(6H,m), 2.19-2.39(2H,m), 2.58-2.71(1H,m), 2.81(3H,s), 5.81(1H,d), 7.59(1H,d), 8.71(1H,d), 9.00(1H,s)
I-267	2.68(3H,s), 2.85(6H,s), 6.39(1H,s), 7.18-7.35(5H,m), 7.53(1H,d), 8.67(1H,d), 8.79(1H,s)
I-268	0.82(3H,d), 1.03(3H,d), 1.25(3H,t), 2.44-2.80(5H,m), 2.85(3H,s), 4.13(2H,q), 5.77(1H,d), 7.58(1H,d), 8.71(1H,d), 9.00(1H,s)
I-269	0.81-1.62(17H,m), 2.19-2.38(2H,m), 2.59-2.75(1H,m), 2.82(3H,s), 5.81(1H,d), 7.59(1H,d), 8.71(1H,d), 9.00(1H,s)

[0115] Test Examples of the present invention are described below.

Test Example I

[0116] Upland field soil was put into a 1/170,000 ha pot, and seed of various plants were sown. Then, when the plants reached predetermined leaf stages ((1) barnyardgrass : 1.3 to 2.8 leaf stages, (2) crabgrass : 1.0 to 2.8 leaf

stages, (3) green foxtail : 1.3 to 2.6 leaf stages, (4) redroot pigweed : 0.1 to 1.2 leaf stages, (5) prickly sida : 0.1 to 1.2 leaf stages, (6) velvetleaf : 0.1 to 1.2 leaf stages, (7) tall morningglory : 0.1 to 1.5 leaf stages , (8) rice : 1.2 to 2.6 leaf stages, (9) wheat : 1.3 to 2.4 leaf stages, (10) corn , 2.1 to 3.2 leaf stages, (11) soybean : 0.1 to 0.4 leaf stage), a wetttable powder or emulsifiable concentrate having the compound of the present invention formulated in accordance with a usual formulation method, was weighed so that the active ingredient would be a predetermined amount, and diluted with water in an amount of 500 L/ha. To the diluted solution, 0.1% (v/v) of agricultural spreader was added. The herbicide thus adjusted was applied by a small size sprayer for foliage treatment.

[0117] On the 18th to 23rd days after the application of the herbicide, the growth of the respective plants was visually observed, and the herbicidal effects were evaluated by the growth controlling degrees (%) ranging from 0 (equivalent to the non-treated plot) to 100 (complete kill), whereby the results shown in Table 3, were obtained.

TABLE 3

Compound No.	Active ingredient (g/ha)	Growth controlling degree (%)											Observed date
		Barnyardgrass	Crabgrass	Green foxtail	Redroot pigweed	Prickly sida	Velvetleaf	Tall morningglory	Rice	Wheat	Corn	Soybean	
I-1	1000	80	80	70	70	80	90	—	60	60	70	80	21
I-2	1000	90	90	70	70	90	90	90	20	20	20	90	22
I-10	1000	90	95	90	90	90	95	95	30	30	60	90	22
I-12	500	50	95	60	50	60	80	70	0	-	10	30	18
I-13	500	80	80	80	80	70	80	95	20	-	70	90	18
I-14	500	50	70	60	80	80	70	95	20	-	40	20	18
I-15	1000	70	70	80	70	80	70	80	10	20	0	40	21
I-16	1000	70	80	70	80	80	80	80	10	40	0	70	21
I-18	1000	90	90	70	90	90	90	-	50	-	80	70	22
I-19	1000	80	90	70	80	80	80	-	20	-	50	90	22
I-21	500	80	95	70	90	90	95	-	20	-	30	70	21
I-26	1000	90	-	70	90	80	80	-	30	-	30	50	21
I-28	500	10	80	60	80	70	90	-	0	-	0	60	21
I-29	500	60	90	60	80	80	80	-	10	-	10	50	21
I-31	500	95	85	80	80	80	95	-	40	-	70	90	21

TABLE 3 (continued)

Compound No.	Active ingredient (g/ha)	Growth controlling degree (%)											Observed date
		Barnyardgrass	Crabgrass	Green foxtail	Redroot pigweed	Prickly sida	Velvetleaf	Tall morningglory	Rice	Wheat	Corn	Soybean	
I-33	500	20	80	70	60	70	80	-	30	-	0	40	21
I-36	500	95	98	70	80	90	70	-	10	-	35	70	21
I-38	500	70	70	60	80	70	90	-	10	-	40	60	21
I-39	500	50	70	60	80	90	-	-	10	-	10	40	21
I-40	500	40	95	70	80	80	90	-	50	-	90	60	21
I-43	1000	70	90	70	70	80	95	90	10	10	10	10	22
I-44	1000	60	80	70	70	80	95	90	10	20	10	50	22
I-46	1000	80	95	50	90	80	95	95	30	30	40	95	22
I-52	1000	50	80	20	60	70	95	95	10	10	60	0	23
I-54	500	50	95	50	80	90	95	-	30	-	50	50	21
I-60	500	60	80	70	60	70	90	-	50	-	70	35	21
I-61	500	30	80	90	70	80	80	-	30	-	30	70	21
I-62	500	20	60	40	80	70	90	-	10	-	10	30	21
I-65	500	10	60	10	90	80	70	-	20	-	0	20	21
I-66	500	20	70	70	50	60	70	-	10	-	40	50	21
I-67	500	50	90	70	60	80	60	-	10	-	0	50	21
I-68	500	0	60	10	70	70	80	-	0	-	0	10	21

TABLE 3 (continued)

Compound No.	Active ingredient (g/ha)	Growth controlling degree (%)											Observed date
		Barnyardgrass	Crabgrass	Green foxtail	Redroot pigweed	Prickly sida	Velvetleaf	Tall morningglory	Rice	Wheat	Corn	Soybean	
I-69	500	10	90	30	70	80	95	-	10	-	60	60	21
I-70	500	40	80	60	50	80	80	-	20	-	50	30	21
I-72	500	0	70	10	60	60	85	-		-	40	20	21
I-73	1000	40	-	-	60	85	90	-	30	-	0	70	21
I-74	1000	50	-	-	60	80	90	-	20	-	30	30	21
I-75	1000	70	-	-	60	80	90	-	20	-	10	30	21
I-76	1000	80	-	-	80	70	90	-	40	-	95	70	21
I-85	500	80	90	85	70	80	90	-	40	-	60	80	21
I-114	500	80	80	70	60	80	70	-	30	-	60	70	21
I-117	500	80	80	40	50	70	80	-	20	-	30	60	21
I-119	500	60	40	50	50	70	10	-	20	-	10	60	21
I-122	500	80	95	60	70	80	70	-	10	0	80	80	18
I-124	500	80	95	70	50	80	70	-	40	60	60	80	18
I-125	500	70	95	70	60	80	70	-	40	10	60	80	18
I-127	500	70	95	50	20	70	60	-	30	0	40	60	18
I-128	500	70	95	50	60	80	80	-	50	30	70	80	18
I-130	500	70	80	60	70	80	70	-	40	10	70	40	18

TABLE 3 (continued)

Compound No.	Active ingredient (g/ha)	Growth controlling degree (%)											Observed date
		Barnyardgrass	Crabgrass	Green foxtail	Redroot pigweed	Prickly sida	Velvetleaf	Tall morningglory	Rice	Wheat	Corn	Soybean	
I-131	500	70	80	70	70	70	50	-	30	50	50	80	21
I-132	500	70	90	60	70	80	60	-	10	10	80	80	21
I-133	500	70	70	70	70	80	70	-	40	40	80	80	21
I-163	500	90	98	90	80	80	70	-	80	-	90	80	20
I-164	500	60	60	60	-	80	85	-	50	-	50	30	20
I-165	500	80	95	70	70	80	80	-	10	-	40	80	20
I-178	500	50	60	60	70	95	95	-	40	-	10	60	20
I-182	500	80	80	50	50	70	50	-	20	10	60	70	18
I-186	500	50	60	40	50	60	60	-	10	60	50	70	20
I-187	1000	60	95	60	80	90	40	-	30	10	80	60	21
I-189	500	90	98	90	80	85	70	-	80	70	90	80	23
I-190	500	80	80	80	70	70	60	-	70	70	70	60	23
I-191	500	70	70	80	70	80	80	-	20	10	60	50	23
I-192	500	80	-	60	80	90	70	-	10	0	-	80	23
I-193	500	95	90	80	80	90	60	-	80	80	80	80	23
I-194	500	70	80	70	50	70	10	-	50	40	20	40	22

TABLE 3 (continued)

Compound No.	Active ingredient (g/ha)	Growth controlling degree (%)											Observed date
		Barnyardgrass	Crabgrass	Green foxtail	Redroot pigweed	Prickly sida	Velvetleaf	Tall morningglory	Rice	Wheat	Corn	Soybean	
I-195	500	70	80	60	80	70	60	-	70	30	10	60	22
I-196	500	60	60	40	50	70	10	-	40	40	10	40	22
I-198	500	70	80	60	70	70	40	-	80	70	70	60	18
I-199	500	70	80	70	60	70	60	-	50	50	60	60	18
I-229	500	60	80	70	80	80	90	-	30	-	10	50	21
I-241	500	70	95	70	-	80	80	-	20	-	30	80	21
I-258	500	80	90	50	80	70	90	-	10	-	10	70	21
I-260	500	80	80	50	40	95	90	-	40	-	80	90	21
I-261	500	70	60	60	50	60	50	-	20	-	10	80	21
I-262	500	80	80	70	70	95	90	-	35	-	60	80	21
I-263	500	80	80	70	70	90	95	-	60	-	40	80	21

Test Example 2

[0118] Upland field soil was put into a 1/170,000 ha pot, and seed of various plants (barnyardgrass, crabgrass, green foxtail, redroot pigweed, prickly sida, velvetleaf, rice, wheat, corn, soybean) were sown. On the 1st day after the sowing, a wettable powder or emulsifiable concentrate having the compound of the present invention formulated in accordance with a usual formulation method, was weighed so that the active ingredient would be a predetermined amount, and diluted with water in an amount of 1,500 L/ha. The herbicide thus adjusted was applied by a small size sprayer for soil surface treatment.

[0119] On the 20th to 28th days after the application of the herbicide, the growth of the respective plants was visually observed, and the herbicidal effects were evaluated by the growth controlling degrees (%) ranging from 0 (equivalent to the non-treated plot) to 100 (complete kill), whereby the results shown in Table 4, were obtained.

TABLE 4

Compound No.	Active ingredient (g/ha)	Growth controlling degree (%)										Observed date
		Barnyardgrass	Crabgrass	Green foxtail	Redroot pigweed	Prickly sida	Velvetleaf	Rice	wheat	Corn	Soybean	
I-1	1000	90	100	100	80	70	80	80	50	70	50	21
I-2	1000	80	90	80	70	70	0	0	0	0	0	21
I-3	1000	0	90	90	20	10	0	10	0	0	0	20
I-5	1000	30	90	70	0	0	0	10	10	20	10	21
I-8	1000	50	50	50	80	50	0	10	30	10	30	28
I-10	1000	100	100	100	60	60	60	30	20	10	30	21
I-11	1000	98	90	90	0	0	0	0	50	10	0	21
I-12	1000	100	100	100	90	90	80	10	40	0	20	28
I-13	1000	100	100	100	90	90	100	30	98	40	20	28
I-14	1000	100	100	100	85	60	60	10	30	20	0	28
I-15	1000	100	100	100	70	70	60	40	30	40	20	22
I-16	1000	98	100	100	95	80	60	30	30	30	0	22
I-17	500	100	98	100	50	90	80	30	-	20	20	21
I-18	500	100	100	100	80	80	80	20	-	50	50	21
I-19	500	95	100	100	80	98	80	10	-	30	30	21

TABLE 4 (continued)

Compound No.	Active ingredient (g/ha)	Growth controlling degree (%)										Observed date
		Barnyardgrass	Crabgrass	Green foxtail	Redroot pigweed	Prickly sida	Velvetleaf	Rice	wheat	Corn	Soybean	
I-20	500	100	100	100	95	100	100	0	40	70	30	21
I-21	250	60	98	90	90	80	70	40	-	50	20	21
I-22	500	90	95	90	90	70	70	10	30	20	10	21
I-23	250	95	98	98	85	98	60	0	-	10	20	21
I-25	250	98	100	100	100	100	95	20	-	20	10	21
I-26	250	80	80	80	70	70	80	10	-	40	0	21
I-28	500	70	80	70	70	30	50	-	-	0	0	20
I-29	500	100	99	100	70	98	70	30	-	20	10	20
I-31	500	100	90	100	80	100	50	10	-	30	50	21
I-33	500	100	100	90	80	80	90	10	-	30	0	26
I-36	500	100	98	98	80	100	50	30	-	20	10	26
I-38	500	100	90	80	80	100	0	20	-	10	0	21
I-39	500	100	100	70	80	90	30	10	-	40	50	21
I-40	500	95	100	100	90	100	70	20	-	50	30	26

TABLE 4 (continued)

Compound No.	Active ingredient (g/ha)	Growth controlling degree (%)										Observed date
		Barnyardgrass	Crabgrass	Green foxtail	Redroot pigweed	Prickly sida	Velvetleaf	Rice	wheat	Corn	Soybean	
I-42	1000	70	90	90	0	0	0	0	30	10	0	21
I-43	1000	90	100	98	60	70	0	10	40	30	10	21
I-44	1000	80	90	100	0	0	0	40	40	10	0	21
I-45	1000	0	90	60	40	40	40	50	30	20	0	21
I-46	1000	100	90	95	10	60	50	10	30	10	0	21
I-47	2000	60	90	80	0	40	20	0	20	10	10	21
I-48	2000	20	70	100	30	20	40	20	30	10	0	21
I-60	500	98	100	98	80	98	70	20	-	10	10	20
I-61	500	20	80	70	70	98	60	10	-	10	20	20
I-62	500	60	98	60	70	98	50	10	-	10	10	20
I-65	500	60	90	60	50	10	10	20	-	30	0	20
I-66	500	80	90	50	60	30	40	40	-	10	50	20
I-67	500	90	95	95	40	80	60	10	-	40	10	20
I-69	500	95	98	85	50	80	20	10	-	20	40	20

TABLE 4 (continued)

Compound No.	Active ingredient (g/ha)	Growth controlling degree (%)									Observed date	
		Barnyardgrass	Crabgrass	Green foxtail	Redroot pigweed	Prickly sida	Velvetleaf	Rice	wheat	Corn		Soybean
I-70	500	80	98	70	70	50	0	40	-	0	0	21
I-72	500	80	70	60	-	-	0	0	-	0	0	21
I-75	500	100	100	100	40	80	70	0	-	10	0	21
I-76	500	70	98	100	70	100	50	10	-	0	0	21
I-79	500	100	100	100	80	100	80	10	-	10	10	21
I-81	500	98	90	70	70	10	0	0	-	0	0	21
I-82	500	80	90	70	60	0	0	30	-	10	0	21
I-84	500	80	70	30	50	0	0	20	-	20	0	21
I-85	500	100	100	100	90	100	80	10	-	10	40	21
I-87	500	100	98	95	85	100	70	0	-	40	0	26
I-94	500	100	100	100	98	100	80	10	-	10	0	26
I-95	500	95	98	90	60	80	30	10	-	10	30	21
I-96	500	60	85	100	80	70	50	10	-	20	20	21
I-97	500	60	98	100	60	90	40	40	-	20	10	21
I-99	500	100	98	100	40	95	40	20	-	40	30	21

TABLE 4 (continued)

Compound No.	Active ingredient (g/ha)	Growth controlling degree (%)										Observed date
		Barnyardgrass	Crabgrass	Green foxtail	Redroot pigweed	Prickly sida	Velvetleaf	Rice	wheat	Corn	Soybean	
I-100	500	98	98	100	10	70	10	30	-	30	0	21
I-101	500	70	-	70	80	30	30	0	-	10	0	26
I-102	500	100	98	100	20	60	0	10	-	35	20	21
I-105	500	70	98	100	60	80	10	10	-	30	10	21
I-109	500	0	98	70	70	0	0	20	-	10	0	21
I-112	500	20	70	50	70	40	10	40	-	10	10	21
I-113	500	60	70	95	70	60	60	30	-	20	10	21
I-117	500	100	95	100	60	70	50	40	-	20	10	21
I-122	500	98	100	100	60	50	30	20	-	10	10	21
I-124	500	100	100	100	60	90	80	20	10	20	30	21
I-125	500	100	100	100	50	80	70	30	20	10	10	21
I-126	500	80	30	70	30	50	10	20	10	0	0	21
I-127	500	100	90	100	60	50	40	20	30	10	10	21
I-128	500	100	100	100	30	80	60	40	30	50	20	21
I-129	500	98	98	100	40	70	10	30	30	30	10	21

TABLE 4 (continued)

Compound No.	Active ingredient (g/ha)	Growth controlling degree (%)										Observed date
		Barnyardgrass	Crabgrass	Green foxtail	Redroot pigweed	Prickly sida	Velvetleaf	Rice	wheat	Corn	Soybean	
I-130	250	100	98	95	10	50	10	40	20	20	10	21
I-131	500	100	100	100	30	60	0	20	20	10	40	24
I-132	500	98	90	-	10	70	0	10	10	0	10	24
I-133	500	100	98	100	50	80	50	70	40	50	30	24
I-135	500	80	70	70	20	50	30	20	10	0	0	21
I-136	250	70	90	95	10	50	30	50	10	20	10	21
I-137	250	98	98	100	50	50	50	40	30	10	20	21
I-163	500	100	100	100	70	95	90	50	-	60	40	21
I-164	500	70	98	85	70	60	40	60	-	20	20	21
I-165	500	100	100	100	80	70	50	10	-	20	20	21
I-168	500	100	98	98	100	70	0	98	-	10	20	21
I-169	500	100	98	100	70	90	20	40	-	40	30	21
I-170	500	100	98	100	30	95	30	30	-	50	35	21
I-172	500	40	98	95	60	80	10	20	-	10	0	21
I-178	500	90	98	100	40	30	40	40	-	10	0	20

TABLE 4 (continued)

Compound No.	Active ingredient (g/ha)	Growth controlling degree (%)										Observed date
		Barnyardgrass	Crabgrass	Green foxtail	Redroot pigweed	Prickly sida	Velvetleaf	Rice	wheat	Corn	Soybean	
I-180	500	100	100	100	80	80	50	50	-	10	10	20
I-181	500	30	98	95	40	-	30	40	-	10	0	21
I-182	500	90	100	95	30	10	50	50	-	10	10	21
I-183	500	100	100	100	95	30	50	60	-	10	10	21
I-184	500	30	98	40	30	80	50	20	-	0	20	21
I-186	500	40	98	100	40	10	0	20	-	30	0	21
I-189	500	100	100	100	100	90	90	100	-	50	95	21
I-190	500	100	100	100	80	90	50	98	-	10	30	21
I-191	500	100	98	100	50	10	10	20	20	40	30	21
I-192	500	98	80	80	10	0	0	10	0	10		21
I-193	250	100	100	100	10	80	10	80	60	70	10	21
I-194	250	100	100	100	50	40	30	40	20	10	10	26
I-195	250	98	98	60	30	30	10	40	10	20	10	26
I-196	250	70	90	95	60	60	30	30	10	30	10	26

TABLE 4 (continued)

Compound No.	Active ingredient (g/ha)	Growth controlling degree (%)										Observed date
		Barnyardgrass	Crabgrass	Green foxtail	Redroot pigweed	Prickly sida	Velvetleaf	Rice	wheat	Corn	Soybean	
I-197	500	100	100	100	90	70	50	40	50	20	10	21
I-198	500	100	100	100	80	90	70	98	98	70	90	21
I-199	250	100	100	100	70	80	50	90	50	-	20	21
I-201	250	100	100	100	70	60	40	70	60	40	40	21
I-202	250	100	100	95	80	70	40	60	40	50	35	21
I-203	250	100	100	98	80	60	30	60	30	40	30	23
I-204	250	100	100	100	95	95	70	100	95	70	70	23
I-205	250	100	100	100	80	70	60	70	95	40	30	23
I-206	250	100	100	100	70	50	40	40	30	30	20	20
I-207	250	100	98	100	60	80	50	40	20	30	30	20
I-208	250	100	100	100	90	80	50	100	80	70	60	20
I-209	250	100	98	100	60	70	60	70	70	50	50	20
I-229	500	100	100	100	98	100	50	50	-	100	10	26
I-230	500	50	98	70	60	30	0	10	-	40	0	20
I-232	500	100	100	100	80	95	70	30	-	30	0	26

TABLE 4 (continued)

Compound No.	Active ingredient (g/ha)	Growth controlling degree (%)										Observed date
		Barnyardgrass	Crabgrass	Green foxtail	Redroot pigweed	Prickly sida	Velvetleaf	Rice	wheat	Corn	Soybean	
I-234	500	95	98	70	70	50	30	10	-	0	0	21
I-239	500	60	95	90	70	80	30	0	-	10	10	21
I-241	500	100	98	100	90	100	70	20	-	40	20	21
I-242	500	98	98	100	90	98	70	10	-	40	10	21
I-243	500	90	100	100	80	90	30	10	-	40	10	21
I-244	500	50	80	80	60	60	0	20	-	30	0	21
I-245	500	90	80	80	50	70	0	35	-	50	40	21
I-246	500	98	95	98	50	80	30	20	-	40	40	21
I-249	500	95	98	98	60	80	50	20	-	20	20	21
I-254	500	90	98	100	50	90	70	10	-	10	10	21
I-255	500	95	98	98	70	98	70	20	-	30	10	21
I-256	500	70	98	100	80	10	0	0	-	10	0	21
I-258	500	100	100	100	90	100	60	10	-	0	10	21
I-259	500	70	75	98	50	40	10	10	-	30	0	21
I-260	500	80	98	100	70	95	70	10	-	30	20	21

TABLE 4 (continued)

Compound No.	Active ingredient (g/ha)	Growth controlling degree (%)										Observed date
		Barnyardgrass	Crabgrass	Green foxtail	Redroot pigweed	Prickly sida	Velvetleaf	Rice	wheat	Com	Soybean	
I-261	500	90	100	100	70	98	50	20	-	20	20	21
I-262	500	20	100	95	70	90	60	50	-	40	20	21
I-263	500	10	95	60	70	70	40	40	-	20	10	21

25 Test Example 3

[0120] Paddy field soil was put into a 1/1,000,000 ha pot, and seed of barnyardgrass and japanese bulrush were sown and slightly covered with soil. Then, the pot was left to stand still in a greenhouse in a state where the depth of flooding water was from 0.5 to 1 cm, and one day or two days later, tubers of japanese ribbon wapato were planted. Thereafter, the depth of flooding water was maintained at a level of from 3 to 4 cm, and when barnyardgrass and japanese bulrush reached a 0.5 leaf stage and japanese ribbon wapato reached a primary leaf stage, an aqueous diluted solution of a wettable powder or emulsifiable concentrate having the compound of the present invention formulated in accordance with a usual formulation method, was uniformly applied under submerged conditions by a pipette so that the dose of the active ingredient would be at a predetermined level.

[0121] On the other hand, paddy field soil was put into a 1/1,000,000 ha pot and puddled and leveled, and the depth of flooding water was from 3 to 4 cm. Next day, rice (var. Nihonbare) of 2 leaf stage was transplanted in a depth of 3 cm. On the 4th day after the transplantation, the compound of the present invention was applied in the same manner as described above.

[0122] On the 14 days after the application of the herbicide, the growth of barnyard grass, japanese bulrush and japanese ribbon wapato was visually observed and on the 21 st day after the application of the herbicide, the growth of rice was visually observed, and the herbicidal effects were evaluated by the growth-controlling degrees (%) ranging from 0 (equivalent to the non-treated plot) to 100 (complete kill), whereby the results shown in Table 5 were obtained.

TABLE 5

Comp. No.	Active ingredient g/ha	Growth controlling degree (%)			
		Barnyardgrass	Japanese bulrush	Japanese ribbon wapato	Rice
I-1	500	-	98	95	60
I-2	250	100	90	50	20
I-3	1000	90	98	30	20
I-5	500	60	90	50	20
I-7	500	95	70	0	20
I-8	500	90	90	10	0
I-9	500	100	10	30	0

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TABLE 5 (continued)

Comp. No.	Active ingredient g/ha	Growth controlling degree (%)				
		Barnyardgrass	Japanese bulrush	Japanese ribbon wapato	Rice	
5	I-10	500	100	98	10	10
	I-11	500	60	90	30	10
	I-12	500	100	100	70	30
10	I-13	500	100	95	90	30
	I-14	500	100	98	30	10
	I-15	250	100	95	100	10
	I-16	250	100	90	30	10
15	I-17	500	-	90	90	35
	I-18	500	-	90	90	10
	I-19	500	-	95	95	35
20	I-20	500	-	90	90	40
	I-21	500	-	98	100	40
	I-22	500	-	95	95	0
	I-23	500	-	90	85	30
25	I-24	500	-	95	100	40
	I-25	500	-	98	100	30
	I-26	500	-	90	10	20
30	I-28	250	100	70	10	0
	I-29	250	100	70	10	10
	I-30	250	100	90	80	0
	I-31	250	100	95	-	20
35	I-33	250	100	85	90	10
	I-35	250	100	95	70	0
	I-36	250	100	95	90	10
40	I-37	250	100	50	10	0
	I-38	250	100	70	85	30
	I-39	250	100	95	85	10
	I-40	250	100	50	50	0
45	I-42	500	70	95	10	30
	I-43	500	100	100	90	35
	I-44	500	90	95	100	30
50	I-45	500	85	90	60	30
	I-46	500	100	100	90	10
	I-47	500	98	30	0	10
	I-48	500	100	30	0	10
55	I-49	500	90	85	-	10
	I-50	500	95	95	-	10

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TABLE 5 (continued)

Comp. No.	Active ingredient g/ha	Growth controlling degree (%)				
		Barnyardgrass	Japanese bulrush	Japanese ribbon wapato	Rice	
5	I-54	500	100	70	0	20
	I-56	500	95	70	90	20
	I-58	500	100	40	0	35
10	I-59	500	90	10	60	10
	I-60	250	100	70	95	30
	I-61	250	95	0	20	10
	I-62	250	98	30	0	20
15	I-65	125	98	90	60	0
	I-66	125	98	90	10	10
	I-67	125	100	98	60	0
20	I-68	125	95	70	50	10
	I-69	125	95	70	40	0
	I-70	125	80	70	40	10
	I-71	125	90	90	40	0
25	I-72	125	90	70	50	0
	I-73	125	98	90	20	0
	I-75	250	100	70	40	0
30	I-76	250	100	100	60	10
	I-77	250	98	95	40	0
	I-78	250	100	70	40	20
	I-79	250	100	70	40	20
35	I-80	250	98	90	20	20
	I-81	250	98	95	0	10
	I-82	250	98	98	0	30
40	I-83	250	98	98	20	10
	I-84	250	98	98	20	0
	I-85	250	100	98	70	0
45	I-87	250	100	90	70	0
	I-88	250	100	70	50	0
	I-89	250	100	95	40	0
	I-90	250	60	40	60	0
50	I-91	250	90	20	20	0
	I-93	500	60	95	30	0
	I-94	250	100	98	90	0
	I-95	250	100	98	20	0
55	I-96	250	100	100	0	30
	I-97	250	100	80	0	0

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TABLE 5 (continued)

Comp. No.	Active ingredient g/ha	Growth controlling degree (%)				
		Barnyardgrass	Japanese bulrush	Japanese ribbon wapato	Rice	
5	I-98	250	100	80	40	10
	I-99	250	100	98	90	0
	I-100	250	100	95	30	10
10	I-101	250	100	98	40	10
	I-102	250	98	100	60	10
	I-105	250	100	80	-	20
	I-108	250	98	100	60	10
15	I-109	125	95	90	60	0
	I-110	250	98	90	20	0
	I-111	250	90	10	-	0
20	I-113	250	95	30	-	0
	I-114	250	100	95	95	30
	I-115	500	70	40	20	20
	I-116	500	100	95	50	10
25	I-117	250	95	98	0	0
	I-119	500	98	100	-	20
	I-122	500	98	100	-	10
30	I-123	500	70	10	-	10
	I-124	250	100	70	-	0
	I-125	250	100	70	-	10
	I-127	250	100	70	-	0
35	I-128	250	100	90	-	0
	I-129	250	100	90	-	0
	I-130	125	100	70	-	10
40	I-131	250	100	95	-	10
	I-132	250	100	80	-	20
	I-133	250	100	95	-	10
	I-134	250	70	30	-	10
45	I-135	500	98	100	-	10
	I-136	250	100	70	-	0
	I-137	250	100	98	-	0
50	I-138	250	98	100	-	10
	I-139	250	98	98	-	10
	I-140	250	98	98	-	0
55	I-141	250	100	98	-	20
	I-142	250	100	60	-	10
	I-143	250	98	98	-	10

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TABLE 5 (continued)

Comp. No.	Active ingredient g/ha	Growth controlling degree (%)				
		Barnyardgrass	Japanese bulrush	Japanese ribbon wapato	Rice	
5	I-144	250	100	98	-	20
	I-145	250	100	0	-	20
	I-146	250	98	80	0	20
10	I-163	250	100	99	90	60
	I-164	250	100	98	0	10
	I-165	250	98	98	60	10
	I-166	250	100	95	0	0
15	I-169	250	100	50	0	10
	I-170	250	100	100	70	0
	I-171	250	60	98	0	0
20	I-172	250	100	98	95	0
	I-173	250	90	95	30	0
	I-174	250	10	95	0	0
	I-176	250	98	98	0	0
25	I-177	250	60	98	20	20
	I-178	500	98	95	40	0
	I-179	500	90	90	30	10
30	I-180	500	98	100	60	30
	I-181	500	95	98	60	10
	I-182	500	100	98	80	0
	I-183	500	100	100	70	10
35	I-184	500	70	90	-	10
	I-186	500	98	95	-	10
	I-187	500	100	10	20	0
40	I-188	500	100	0	0	0
	I-189	500	100	98	95	95
	I-190	500	100	98	90	95
	I-191	500	100	90	-	20
45	I-192	500	100	90	-	30
	I-193	250	100	95	-	30
	I-194	250	98	98	30	30
50	I-195	250	70	100	80	20
	I-196	250	98	100	50	10
	I-197	250	100	98	-	0
	I-198	250	100	100	-	60
55	I-199	250	100	98	-	40
	I-201	250	98	98	-	10

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TABLE 5 (continued)

Comp. No.	Active ingredient g/ha	Growth controlling degree (%)				
		Barnyardgrass	Japanese bulrush	Japanese ribbon wapato	Rice	
5	I-202	250	98	98	-	10
	I-203	250	100	95	-	10
	I-204	250	100	98	-	60
10	I-205	250	100	98	-	20
	I-206	250	100	98	-	10
	I-207	250	98	100	-	10
	I-208	250	98	98	-	70
15	I-209	250	98	100	-	35
	I-211	250	100	98	-	50
	I-212	250	100	98	80	30
20	I-229	500	100	95	90	0
	I-232	500	100	90	70	60
	I-233	500	95	90	40	10
	I-234	250	100	90	40	0
25	I-235	250	100	90	60	0
	I-236	250	95	80	70	0
	I-237	250	95	95	40	0
30	I-238	250	95	95	60	20
	I-239	250	98	98	70	0
	I-240	250	100	100	50	0
	I-241	250	100	95	80	0
35	I-242	250	100	95	70	0
	I-243	250	100	98	70	0
	I-244	250	100	95	50	0
40	I-245	250	100	95	70	10
	I-246	250	100	98	70	10
	I-247	250	100	95	0	0
	I-248	250	98	98	20	0
45	I-249	250	98	98	0	0
	I-252	250	95	98	0	0
	I-253	250	90	20	0	10
50	I-254	250	100	98	-	0
	I-255	250	100	95	20	0
	I-256	250	100	90	20	0
	I-258	250	100	98	70	30
55	I-259	250	98	70	90	40
	I-260	250	100	98	60	10

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TABLE 5 (continued)

Comp. No.	Active ingredient g/ha	Growth controlling degree (%)			
		Barnyardgrass	Japanese bulrush	Japanese ribbon wapato	Rice
I-261	250	100	95	70	20
I-262	250	98	95	30	0
I-263	250	5	90	20	0

Formulation Example 1

[0123]

(1)	The compound of the present invention	75 parts by weight
(2)	Geroon T-77 (tradename, manufactured by Rhone-Poulenc)	14.5 parts by weight
(3)	NaCl	10 parts by weight
(4)	Dextrin	0.5 parts by weight

[0124] The above components are placed in a high-speed mixing granulator, admixed with 20 wt% of water, granulated, and dried to form water-dispersible granules.

Formulation Example 2

[0125]

(1)	Kaolin	78 parts by weight
(2)	Laveline FAN (tradename, manufactured by DAI-ICHI KOGYO SEIYAKU CO., LTD.)	2 parts by weight
(3)	Sorpol 5039 (tradename, manufactured by TOHO Chemical Industry Co., Ltd.)	5 parts by weight
(4)	Carplex (tradename, manufactured by Shionogi & Co., Ltd.)	15 parts by weight

[0126] The mixture of the above components (1) to (4) and the compound of the present invention are mixed in a weight ratio of 9:1 to obtain a wettable powder.

Formulation Example 3

[0127]

(1)	Hi-Filler No. 10 (tradename, manufactured by Matsumura Sangyo Co., Ltd.)	33 parts by weight
(2)	Sorpol 5050 (tradename, manufactured by TOHO Chemical Industry Co., Ltd.)	3 parts by weight
(3)	Sorpol 5073 (tradename, manufactured by TOHO Chemical Industry Co., Ltd.)	4 parts by weight
(4)	The compound of the present invention	60 parts by weight

[0128] The above components (1) to (4) are mixed to obtain a wettable powder.

Formulation Example 4

[0129]

(1)	The compound of the present invention	4 parts by weight
(2)	Bentonite	30 parts by weight
(3)	Calcium carbonate	61.5 parts by weight
(4)	Toxanon GR-31A (tradename, manufactured by Sanyo Chemical Industries Co., Ltd.)	3 parts by weight
(5)	Calcium lignin sulfonate	1.5 parts by weight

[0130] Pulverized component (1) and components (2) and (3) are preliminarily mixed, and then components (4) and (5) and water are mixed thereto. The mixture is extruded and granulated, followed by drying and size-adjusting to obtain granules.

5 Formulation Example 5

[0131]

10	(1)	The compound of the present invention	30 parts by weight
	(2)	Zieclite (tradename, manufactured by Zieclite Co., Ltd.)	60 parts by weight
	(3)	New Kalgen WG-1 (tradename, manufactured by TAKEMOTO OIL & FAT CO., LTD.)	5 parts by weight
	(4)	New Kalgen FS-7 (tradename, manufactured by TAKEMOTO OIL & FAT CO., LTD.)	5 parts by weight

15 **[0132]** Components (1), (2) and (3) are mixed and passed through a pulverizer, and then component (4) is added thereto. The mixture is kneaded and then extruded and granulated, followed by drying and size-adjusting to obtain water-dispersible granules.

Formulation Example 6

20

[0133]

25	(1)	The compound of the present invention	28 parts by weight
	(2)	Soprophor FL (tradename, manufactured by Rhone-Poulenc)	2 parts by weight
	(3)	Sorpol 355 (tradename, manufactured by TOHO Chemical Industry Co., Ltd.)	1 parts by weight
	(4)	IP solvent 1620 (tradename, manufactured by Idemitsu Petrochemical Co., Ltd.)	32 parts by weight
	(5)	Ethylene glycol	6 parts by weight
	(6)	Water	31 parts by weight

30 **[0134]** The above components (1) to (6) are mixed and pulverized by a wet-grinding machine (Dyno-mill) to obtain a water-based suspension concentrate.

[0135] While the invention has been described in detail and with reference to specific embodiments thereof, it will be apparent to one skill in the art that various changes and modifications can be made therein without departing from the spirit and scope thereof. All references cited herein are incorporated in their entirety.

35 **[0136]** This application is based on Japanese application No. 2002-125603 filed on April 26, 2002, the entire contents of which being incorporated hereinto by reference.

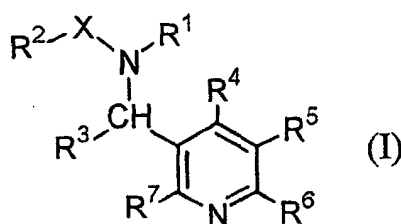
INDUSTRIAL APPLICABILITY

40 **[0137]** The present invention provides novel pyridine compounds which are useful as active ingredients of herbicides.

Claims

45 1. A pyridine compound represented by formula (I):

50



55

wherein R¹ represents hydrogen or alkyl which may be substituted; R² represents alkyl which may be substituted, alkenyl which may be substituted, alkynyl which may be substituted, cycloalkyl which may be substituted, cycloalkenyl which may be substituted, alkoxy which may be substituted, alkylthio which may be substituted, mono- or di-alkylamino which may be substituted, phenylamino which may be substituted, cyclic alkylamino which may be substituted, aryl which may be substituted, or a cyclic ether group which may be substituted; R³ represents alkyl which may be substituted, cycloalkyl which may be substituted, aryl which may be substituted, or heteroaryl which may be substituted; R⁴ represents hydrogen, alkyl, haloalkyl, halogen, -OR⁸, or -SR⁸; R⁵, R⁶ and R⁷ each represents hydrogen, halogen, or alkyl; R⁸ represents alkyl which may be substituted, alkenyl which may be substituted, alkynyl which may be substituted, or cycloalkyl which may be substituted; and X represents CO, CS, or SO₂, and wherein R⁴ is not chlorodifluoromethyl, bromodifluoromethyl, nor iododifluoromethyl, or a salt thereof.

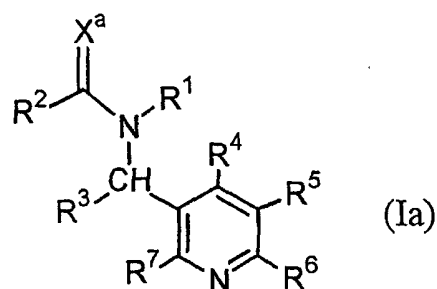
2. The pyridine compound according to claim 1, wherein the substituent for the alkyl which may be substituted, the alkenyl which may be substituted, the alkynyl which may be substituted, the cycloalkyl which may be substituted, the cycloalkenyl which may be substituted, the alkoxy which may be substituted, the alkylthio which may be substituted, the mono- or di-alkylamino which may be substituted, the cyclic alkylamino which may be substituted, or the cyclic ether group which may be substituted, which are contained in R¹, R², R³ or R⁸, is at least one selected from the group consisting of halogen, alkyl, alkoxy, alkylthio, dialkylamino, trimethylsilyl, cycloalkyl, cycloalkenyl, alkylcarbonyl, alkoxy carbonyl, cyclic ether, aryl which may be further substituted, aryloxy which may be further substituted, arylthio which may be further substituted, and heteroaryl which may be further substituted; and wherein the substituent for the phenylamino which may be substituted, the aryl which may be substituted, or the heteroaryl which may be substituted, which are contained in R² or R³, is selected from the group consisting of halogen, alkyl, haloalkyl, alkoxy, alkylthio, alkoxy carbonyl, nitro, cyano, trimethylsilyl, alkoxyimino, and phenyl which may be further substituted, or a salt thereof.

3. The pyridine compound according to claim 1, wherein the substituent for the alkyl which may be substituted, the alkenyl which may be substituted, the alkynyl which may be substituted, the cycloalkyl which may be substituted, the cycloalkenyl which may be substituted, the alkoxy which may be substituted, the alkylthio which may be substituted, the mono- or di-alkylamino which may be substituted, the cyclic alkylamino which may be substituted, or the cyclic ether group which may be substituted, which are contained in R¹, R², R³ or R⁸ is at least one selected from the group consisting of halogen; alkyl, alkoxy, alkylthio, dialkylamino, trimethylsilyl, cycloalkyl, cycloalkenyl, alkylcarbonyl, alkoxy carbonyl, cyclic ether, aryl which may be further substituted, aryloxy which may be further substituted, arylthio which may be further substituted, and heteroaryl which may be further substituted, wherein the substituent for the aryl which may be further substituted, the aryloxy which may be further substituted, the arylthio which may be further substituted, or the heteroaryl which may be further substituted is selected from the group consisting of halogen, alkyl, haloalkyl, alkoxy, alkylthio, alkoxy carbonyl, nitro, cyano, trimethylsilyl, alkoxyimino, and phenyl; and the substituent for the phenylamino which may be substituted, the aryl which may be substituted, or the heteroaryl which may be substituted, which are contained in R² or R³ is selected from the group consisting of halogen, alkyl, haloalkyl, alkoxy, alkylthio, alkoxy carbonyl, nitro, cyano, trimethylsilyl, alkoxyimino, and phenyl which may be further substituted, wherein the substituent for the phenyl may be further substituted is selected from the group consisting of halogen, alkyl, haloalkyl, alkoxy, alkylthio, alkoxy carbonyl, nitro, cyano, trimethylsilyl, alkoxyimino, and phenyl, or a salt thereof.

4. The pyridine compound according to claim 1, wherein the cyclic alkylamino is aziridinyl, azetidiny, pyrrolidinyl, or piperidinyl; the aryl is phenyl, naphthyl, or indanyl; the cyclic ether is epoxy, tetrahydrofuryl, 1,3-dioxolanyl, or 1,3-dioxanyl; and the heteroaryl is a 5-membered or 6-membered aryl containing 1 to 3 heteroatoms selected from oxygen, sulfur and nitrogen, or the aryl condensed with a benzene ring, or a salt thereof.

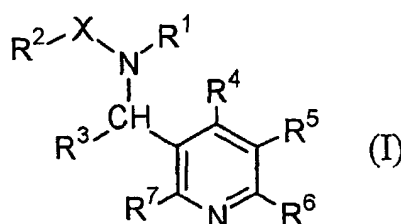
5. The pyridine compound according to claim 1, wherein R⁴ is hydrogen, alkyl, trifluoromethyl, halogen, -OR⁸ or -SR⁸, or a salt thereof.

6. A pyridine compound represented by formula (Ia):



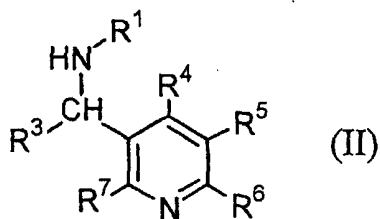
15 wherein R¹ represents hydrogen or alkyl which may be substituted; R² represents alkyl which may be substituted, alkenyl which may be substituted, alkynyl which may be substituted, cycloalkyl which may be substituted, alkoxy which may be substituted, alkylthio which may be substituted, mono- or di-alkylamino which may be substituted, phenylamino which may be substituted, or cyclic alkylamino which may be substituted; R³ represents alkyl which may be substituted, cycloalkyl which may be substituted, aryl which may be substituted, or heteroaryl which may be substituted; R⁴ represents alkyl, haloalkyl, -OR⁸, or -SR⁸; R⁵, R⁶ and R⁷ each represents hydrogen, halogen, or alkyl; R⁸ represents alkyl which may be substituted, alkenyl which may be substituted, alkynyl which may be substituted, or cycloalkyl which may be substituted; and X^a represents oxygen or sulfur, and wherein R⁴ is not chlorodifluoromethyl, bromodifluoromethyl, nor iododifluoromethyl, or a salt thereof

25 7. A process for producing a pyridine compound represented by formula (I):



40 wherein R¹ represents hydrogen or alkyl which may be substituted; R² represents alkyl which may be substituted, alkenyl which may be substituted, alkynyl which may be substituted, cycloalkyl which may be substituted, cycloalkenyl which may be substituted, alkoxy which may be substituted, alkylthio which may be substituted, mono- or di-alkylamino which may be substituted, phenylamino which may be substituted, cyclic alkylamino which may be substituted, aryl which may be substituted, or a cyclic ether group which may be substituted; R³ represents alkyl which may be substituted, cycloalkyl which may be substituted, aryl which may be substituted, or heteroaryl which may be substituted; R⁴ represents hydrogen, alkyl, haloalkyl, halogen, -OR⁸ or -SR⁸; R⁵, R⁶ and R⁷ each represents hydrogen, halogen, or alkyl; R⁸ represents alkyl which may be substituted, alkenyl which may be substituted, alkynyl which may be substituted, or cycloalkyl which may be substituted; and X represents CO, CS, or SO₂, and wherein R⁴ is not chlorodifluoromethyl, bromodifluoromethyl, nor iododifluoromethyl, or a salt thereof, which comprises:

50 (1) reacting an amine derivative represented by formula (II):



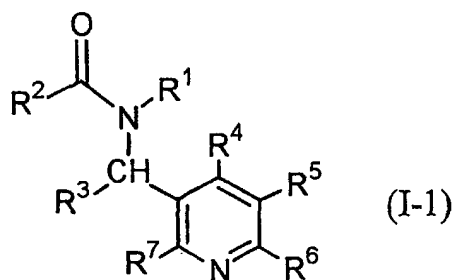
10 wherein R¹, R³, R⁴, R⁵, R⁶ and R⁷ have the same meanings as described above,
with a carboxylic acid derivative represented by formula (III-1):



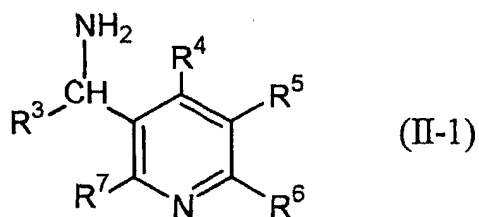
20 wherein R² has the same meaning as described above; and Y¹ represents OH, chlorine, or R²COO,
(2) reacting the amine derivative represented by formula (II) described above with a sulfonic acid derivative
represented by formula (III-2):



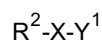
30 wherein R² has the same meaning as described above; and Y² represents chlorine or R²SO₂O,
(3) reacting a pyridine compound represented by formula (I-1):



40 wherein R¹, R², R³, R⁴, R⁵, R⁶ and R⁷ have the same meanings as described above,
with a sulfurizing agent,
(4) reacting an amine derivative represented by formula (II-1):

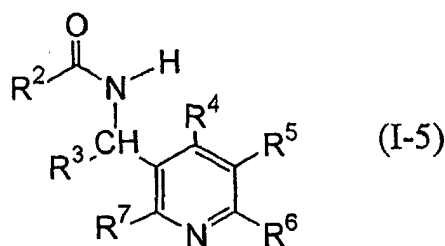


50 wherein R³, R⁴, R⁵, R⁶ and R⁷ have the same meanings as described above,
with a compound represented by the formula:



wherein Y¹ represents OH, chlorine, or bromine; and R² and X have the same meanings as described above,

(5) reacting a pyridine compound represented by formula (I-5):



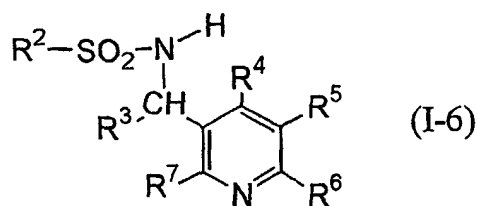
15

wherein R², R³, R⁴, R⁵, R⁶ and R⁷ have the same meanings as described above, with a compound represented by the formula:



wherein R^{1a} represents alkyl which may be substituted; Y⁴ represents chlorine, bromine, iodine, -OSO₂R⁹, or -OSO₃R⁹; and R⁹ represents C₁-C₆ alkyl or phenyl which may be substituted with C₁-C₆ alkyl, or

(6) reacting a pyridine compound represented by formula (I-6):



35

wherein R², R³, R⁴, R⁵, R⁶ and R⁷ have the same meanings as described above, with a compound represented by the formula:



wherein R^{1a} and Y⁴ have the same meanings as described above.

- 45
8. A herbicide which comprises, as an active ingredient, the pyridine compound according to any one of claims 1 to 6 or a salt thereof
9. A method for controlling a noxious weed, which comprises applying an effective amount of the pyridine compound according to any one of claims 1 to 6 or a salt thereof.
- 50
10. A mixed herbicide composition, which comprises at least one of the pyridine compound according to any one of claims 1 to 6 or a salt thereof, and at least one other herbicide(s).

INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP03/05284

<p>A. CLASSIFICATION OF SUBJECT MATTER Int.Cl⁷ C07D213/40, 213/61, 405/12, 409/12, A01N43/40</p> <p>According to International Patent Classification (IPC) or to both national classification and IPC</p>														
<p>B. FIELDS SEARCHED</p> <p>Minimum documentation searched (classification system followed by classification symbols) Int.Cl⁷ C07D213/40, 213/61, 405/12, 409/12, A01N43/40</p> <p>Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched</p> <p>Electronic data base consulted during the international search (name of data base and, where practicable, search terms used) REGISTRY (STN), CAPLUS (STN)</p>														
<p>C. DOCUMENTS CONSIDERED TO BE RELEVANT</p> <table border="1"> <thead> <tr> <th>Category*</th> <th>Citation of document, with indication, where appropriate, of the relevant passages</th> <th>Relevant to claim No.</th> </tr> </thead> <tbody> <tr> <td>X</td> <td>JP 9-328471 A (Nissan Chemical Industries, Ltd.), 22 December, 1997 (22.12.97), All references; particularly, Claims (Family: none)</td> <td>1-10</td> </tr> <tr> <td>A</td> <td>EP 1211246 A1 (KUMIAI CHEMICAL INDUSTRIES CO. LTD.), 05 June, 2002 (05.06.02), All references; particularly, Claims (Family: none)</td> <td>1-10</td> </tr> <tr> <td>X</td> <td>US 5149709 A (SHERING CORP.), 22 September, 1992 (22.09.92), All references; particularly, example 1 (Family: none)</td> <td>1-5, 7</td> </tr> </tbody> </table>			Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.	X	JP 9-328471 A (Nissan Chemical Industries, Ltd.), 22 December, 1997 (22.12.97), All references; particularly, Claims (Family: none)	1-10	A	EP 1211246 A1 (KUMIAI CHEMICAL INDUSTRIES CO. LTD.), 05 June, 2002 (05.06.02), All references; particularly, Claims (Family: none)	1-10	X	US 5149709 A (SHERING CORP.), 22 September, 1992 (22.09.92), All references; particularly, example 1 (Family: none)	1-5, 7
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<p><input checked="" type="checkbox"/> Further documents are listed in the continuation of Box C. <input type="checkbox"/> See patent family annex.</p>														
<p>* Special categories of cited documents:</p> <table border="0"> <tr> <td>"A" document defining the general state of the art which is not considered to be of particular relevance</td> <td>"I" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention</td> </tr> <tr> <td>"E" earlier document but published on or after the international filing date</td> <td>"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone</td> </tr> <tr> <td>"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)</td> <td>"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art</td> </tr> <tr> <td>"O" document referring to an oral disclosure, use, exhibition or other means</td> <td>"&" document member of the same patent family</td> </tr> <tr> <td>"P" document published prior to the international filing date but later than the priority date claimed</td> <td></td> </tr> </table>			"A" document defining the general state of the art which is not considered to be of particular relevance	"I" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention	"E" earlier document but published on or after the international filing date	"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone	"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)	"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art	"O" document referring to an oral disclosure, use, exhibition or other means	"&" document member of the same patent family	"P" document published prior to the international filing date but later than the priority date claimed			
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"O" document referring to an oral disclosure, use, exhibition or other means	"&" document member of the same patent family													
"P" document published prior to the international filing date but later than the priority date claimed														
<p>Date of the actual completion of the international search 01 August, 2003 (01.08.03)</p>		<p>Date of mailing of the international search report 19 August, 2003 (19.08.03)</p>												
<p>Name and mailing address of the ISA/ Japanese Patent Office</p>		<p>Authorized officer</p>												
<p>Facsimile No.</p>		<p>Telephone No.</p>												

INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP03/05284

C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP 329020 A1 (MITSUBISHI KASEI CORP.), 23 August, 1989 (23.08.89), All references; particularly, Claims & JP 2-062876 A & US 4968805 A	1-7
X	SASSE, A.; STARK, H.; LIGNEAU, X.; ELZ, S.; REIDEMEISTER, S.; GANELLIN, E.R.; SCHWARTZ, J.-C.; SCHUNACK, W., (Partial) agonist/antagonist properties of novel diarylalkyl carbamates on histamine H3 receptors., Bioorganic & Medicinal Chemistry, Vol.8, No.5, 2000, pages 1139 to 1149	1-7
X	JP 2-138241 A (Sumitomo Chemical Co., Ltd.), 28 May, 1990 (28.05.90), All references; particularly, Claims; Page 5, compounds (26), (27) (Family: none)	1-7

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